

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1600RXA

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7 AUG 27 USPATOLD now available on STN
NEWS 8 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10 SEP 13 FORIS renamed to SOFIS
NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29 JAN 02 STN pricing information for 2008 now available
NEWS 30 JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 31 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 32 JAN 28 MARPAT searching enhanced
NEWS 33 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication
NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment

NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 36 FEB 08 STN Express, Version 8.3, now available

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:12:41 ON 14 FEB 2008

FILE 'REGISTRY' ENTERED AT 12:12:55 ON 14 FEB 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6
DICTIONARY FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

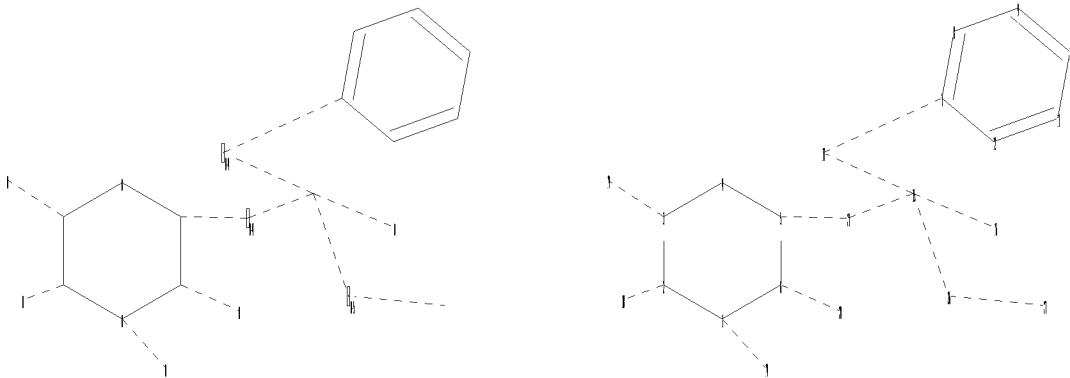
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10577841.str



```

chain nodes :
14 15 16 17 18 19 20 21 26 27
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-14 2-18 3-19 5-21 6-20 7-17 15-16 15-17 15-21 15-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-12 7-8 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 1-14 2-3 2-18 3-4 3-19 4-5 5-6 5-21 6-20 7-17 15-16 15-17
15-21 15-26 26-27
normalized bonds :
7-12 7-8 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

```

```

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 26:CLASS 27:CLASS

```

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 12:13:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0
L2 0 SEA SSS SAM L1
=> s 11 full
FULL SEARCH INITIATED 12:13:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21 TO ITERATE
100.0% PROCESSED 21 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> fil stnguide
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'STNGUIDE' ENTERED AT 12:13:41 ON 14 FEB 2008
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COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 8, 2008 (20080208/UP).

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (>) for a list of commands which can be used in this file.

=> FILE REGISTRY
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 0.06 178.63

FILE 'REGISTRY' ENTERED AT 12:14:21 ON 14 FEB 2008
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STRUCTURE FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6
DICTIONARY FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

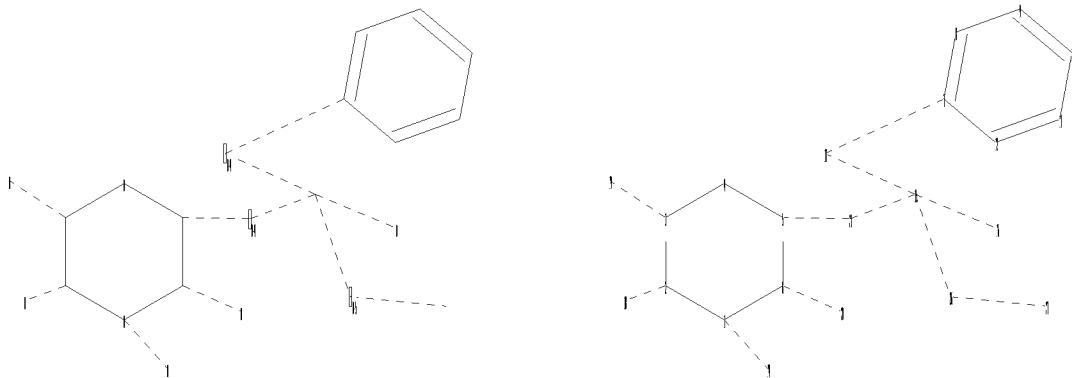
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\QUERIES\10577841.str



chain nodes :

14 15 16 17 18 19 20 21 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-14 2-18 3-19 5-21 6-20 7-17 15-16 15-17 15-21 15-26 26-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-12 7-8 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 1-14 2-3 2-18 3-4 3-19 4-5 5-6 5-21 6-20 7-17 15-16 15-17
15-21 15-26 26-27

normalized bonds :

7-12 7-8 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 26:CLASS 27:CLASS

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 12:14:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS
SEARCH TIME: 00.00.01 7 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 7 TO 298

L5 7 SEA SSS SAM L4

=> s 14 full
FULL SEARCH INITIATED 12:14:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 253 TO ITERATE

100.0% PROCESSED 253 ITERATIONS 159 ANSWERS
SEARCH TIME: 00.00.01

L6 159 SEA SSS FUL L4

=> s 16 and caplus/lc
56059609 CAPLUS/LC
L7 119 L6 AND CAPLUS/LC

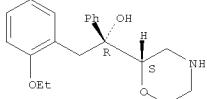
=> s 16 not 17
L8 40 L6 NOT L7

=> s 18 35-40
MISSING OPERATOR

=> d 18 35-40

L8 ANSWER 35 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 758684-59-2 REGISTRY
ED Entered STN: 08 Oct 2004
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
(α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O3
CI CCM
SR CA

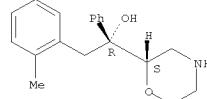
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 36 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 757940-98-0 REGISTRY
ED Entered STN: 07 Oct 2004
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-,
(α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N O2
CI CCM
SR CA

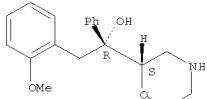
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 37 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 750570-01-5 REGISTRY
ED Entered STN: 24 Sep 2004
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,
(α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N O3
CI CCM
SR CA

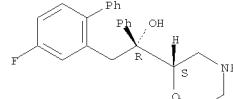
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 38 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 744196-20-1 REGISTRY
ED Entered STN: 14 Sep 2004
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 F N O2
CI CCM
SR CA

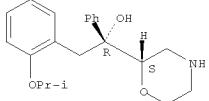
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

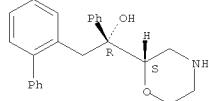
L8 ANSWER 39 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 732983-60-7 REGISTRY
ED Entered STN: 26 Aug 2004
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, (2R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H27 N O3
CI CCM
SR CA

Absolute stereochemistry.



L8 ANSWER 40 OF 40 REGISTRY COPYRIGHT 2008 ACS on STN
RN 701907-86-0 REGISTRY
ED Entered STN: 30 Jun 2004
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -phenyl-, (2R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H25 N O2
CI CCM
SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus			
COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
FULL ESTIMATED COST	ENTRY	SESSION	
	195.97	374.60	

FILE 'CAPLUS' ENTERED AT 12:15:15 ON 14 FEB 2008
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FILE COVERS 1907 - 14 Feb 2008 VOL 148 ISS 7
 FILE LAST UPDATED: 13 Feb 2008 (20080213/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 12:12:41 ON 14 FEB 2008)

FILE 'REGISTRY' ENTERED AT 12:12:55 ON 14 FEB 2008
 L1 STRUCTURE uploaded
 L2 0 S L1
 L3 0 S L1 FULL

FILE 'STNGUIDE' ENTERED AT 12:13:41 ON 14 FEB 2008

FILE 'REGISTRY' ENTERED AT 12:14:21 ON 14 FEB 2008
 L4 STRUCTURE uploaded
 L5 7 S L4
 L6 159 S L4 FULL
 L7 119 S L6 AND CAPLUS/LC
 L8 40 S L6 NOT L7

FILE 'CAPLUS' ENTERED AT 12:15:15 ON 14 FEB 2008

=> s 17
 L9 10 L7

=> d ibib abs hitstr 1-10

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008195116 CAPLUS
 TITLE: Biomarker-optimized attention deficit-hyperactivity disorder (ADHD) treatment with selective norepinephrine reuptake inhibitors
 INVENTOR(S): Lawrence, Donald Gilbert
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 8pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2008020387	A1	20080124	US 2007-694099	20070330
			US 2006-788008P	P 20060331

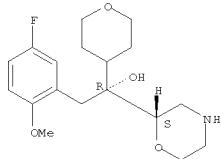
AB The invention provides methods for predicting patient responsiveness to treatment of attention-deficit/hyperactivity disorder (ADHD) with selective norepinephrine reuptake inhibitors; identifying individuals requiring a higher than normal dose of atomoxetine for treating ADHD; and predicting patient responsiveness to treatment of neuropsychiatric diseases or disorders responsive to treatment with selective norepinephrine reuptake inhibitors. These methods are based on the identification of the variable number of tandem repeats (VNTR) polymorphism present in the 3' untranslated region of the human dopamine transporter (DAT 1) gene present in patient body fluid or tissue samples. Patients with a 10/10 VNTR genotype are considered poor responders to treatment with atomoxetine and other selective norepinephrine reuptake inhibitors for the indicated conditions.

IT 852237-54-8 852237-54-8D, salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (biomarker-optimized attention deficit-hyperactivity disorder and neuropsychiatric disorder treatment with selective norepinephrine reuptake inhibitors)

RN 852236-82-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1), (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

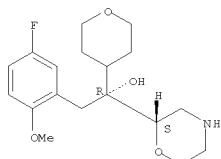
L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

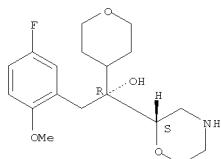
RN 852237-54-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852237-54-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 20061331209 CAPLUS
 DOCUMENT NUMBER: 146223523
 TITLE: Synthesis of ¹¹C-labelled (R)-OHDMI and CFMME and their evaluation as candidate radioligands for imaging

AUTHOR(S): Gulyas,
 Walter,
 Balazs; Gallagher, Peter T.; Dobson, David R.;
 Magnus W.; Rudyk, Helene; Farde, Lars; Halldin, Christer

CORPORATE SOURCE: Karolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital,
 Stockholm, S-17176, Swed.
 SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(2), 616-625

PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:223523
 AB (R)-1-(10,11-Dihydro-dibenzo[b,f]azepin-5-yl)-3-methylamino-propan-2-ol ((R)-OHDMI) and (S,S)-1-cyclopentyl-2-(5-fluoro-2-methoxy-phenyl)-1-morpholin-2-yl-ethanol (CFMME) were synthesized and found to be potent inhibitors of norepinephrine reuptake. Each was labeled efficiently in its Me group with carbon-11 (t_{1/2} = 20.4 min) as a prospective

radioligand for imaging brain norepinephrine transporters (NET) with positron emission tomog. (PET). The uptake and distribution of radioactivity in brain following i.v. injection of each radioligand into cynomolgus monkey was examined *in vivo* with PET. After injection of (R)-[¹¹C]OHDMI, the maximal

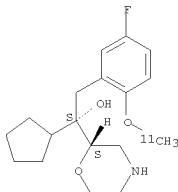
whole brain uptake of radioactivity was very low (1.1% of injected dose, I.D.). For occipital cortex, thalamus, lower brainstem, mesencephalon and cerebellum, radioactivity ratios to striatum at 93 min after radioligand injection were 1.35, 1.35, 1.2, 1.2 and 1.0, resp. After injection of [¹¹C]CFMME, radioactivity readily entered brain (3.5% I.D.). Ratios of radioactivity to cerebellum at 93 min for thalamus, occipital cortex, region of locus caeruleus, mesencephalon and striatum were 1.35, 1.3,

1.2 and 1.2, resp. Radioactive metabolites in plasma were measured by radio-HPLC. (R)-[¹¹C]OHDMI represented 75% of plasma radioactivity at 4 min after injection and 6% at 30 min. After injection of [¹¹C]CFMME, 84% of the radioactivity in plasma represented parent at 4 min and 20% at 30 min. Since the two new hydroxylated radioligands provide only modest regional differentiation in brain uptake and form potentially troublesome lipophilic radioactive metabolites, they are concluded to be inferior to existing radioligands, such as (S,S)-[¹⁸F]MeNER, (S,S)-[¹⁸F]MeNER-D2 and (S,S)-[¹⁸F]FRB-D4, for the study of brain NETs with PET *in vivo*.

IT 925232-43-5
 RL: DGN (Diagnostic use); PRT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ¹¹C-labelled (R)-OHDMI and CFMME as candidate radioligands
 For PET imaging of central norepinephrine transporters)

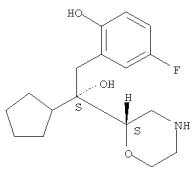
L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 925232-43-5 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-(methoxy-11C)-phenyl)methyl]-, (α S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 925232-42-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)
RN 925232-42-4 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-hydroxyphenyl)methyl]-, (α S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

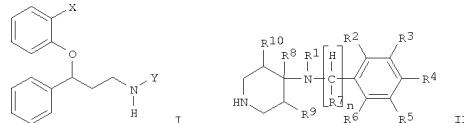


REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS FORMAT

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
ACCESSION NUMBER: 2005:588645 CAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LV, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, CG, KZ, MD, RU, TH, TM, AT, BE, BG, CH, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2548304	A1	20050707	CA 2004-2548304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, DE, DK, EP, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1889940	A	20070103	CN 2004-80036841	20041201
JP 2007513945	T	20070531	JP 2006-543830	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:			US 2003-529428P	P 20031212
			WO 2004-US38221	W 20041201

OTHER SOURCE(S): MARPAT 143:115550
GI

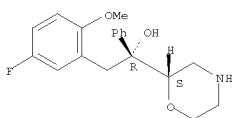


AB The invention relates to a method of preventing or treating hot flashes,

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amt. of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.]; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxyl, etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prep'd. E.g., a 2-step synthesis of N-(2-methylpropyl)-N'-(2-fluorophenyl)methyl(piperidin-4-ane)fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidin-1-carboxylic acid and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μ M, more preferably less than 500 nM at the norepinephrine transporter as detd. using the scintillation proximity assay.

IT 857642-46-7
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)
RN 857642-46-7 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HC1

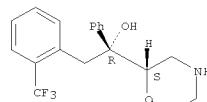
IT 664360-82-1P 664361-41-5P 852236-27-2P
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852236-39-6P 852236-40-9P 852236-42-1P
852236-43-2P 852236-44-3P 852236-45-4P
852236-47-6P 852236-49-8P 852236-51-2P
852236-53-4P 852236-55-6P 852236-56-7P
852236-58-9P 852236-60-3P 852236-63-6P
852236-65-8P 852236-66-9P 852236-68-1P
852236-70-5P 852236-72-7P 852236-74-9P
852236-76-1P 852236-78-3P 852236-84-1P
852431-21-1P 854139-91-6P 857642-38-7P

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
857642-40-1P 857642-41-2P 857642-42-3P
857642-43-4P 857642-44-5P 857642-52-5P
857642-59-2P 857642-60-5P 857642-61-6P
857642-62-7P 857642-63-8P 857642-64-9P
857642-65-0P 857642-66-1P 857642-67-2P
857642-68-3P 857642-69-4P 857642-70-7P
857642-71-9P 857642-74-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preprn. of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

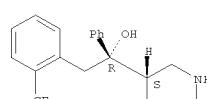
RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

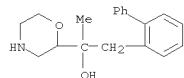


RN 664361-41-5 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

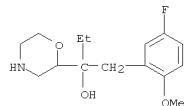


RN 852236-27-2 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -methyl-, hydrochloride (9CI) (CA INDEX NAME)



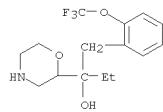
● HCl

RN 852236-29-4 CAPLUS
CN 2-Morpholinemethanol, α -ethyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



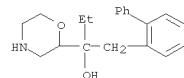
● HCl

RN 852236-31-8 CAPLUS
CN 2-Morpholinemethanol, α -ethyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



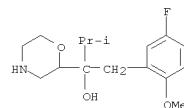
● HCl

RN 852236-33-0 CAPLUS
CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -ethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

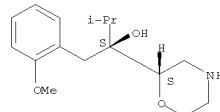
RN 852236-35-2 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

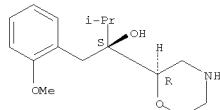
RN 852236-37-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R, 2 R)-rel- (CA INDEX NAME)

Relative stereochemistry.



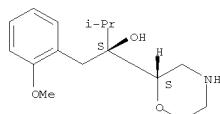
RN 852236-38-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R, 2 S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852236-39-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R, 2 R)-rel- (9CI) (CA INDEX NAME)

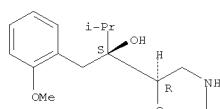
Relative stereochemistry.



● HCl

RN 852236-40-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R, 2 S)-rel- (9CI) (CA INDEX NAME)

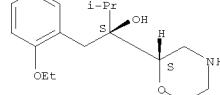
Relative stereochemistry.



● HCl

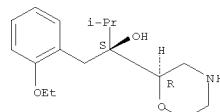
RN 852236-42-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R, 2 R)-rel- (CA INDEX NAME)

Relative stereochemistry.



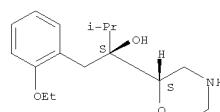
RN 852236-43-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R, 2 S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852236-44-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R, 2 R)-rel- (9CI) (CA INDEX NAME)

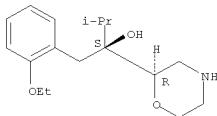
Relative stereochemistry.



● HCl

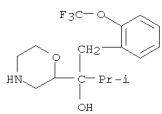
RN 852236-45-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R, 2 S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



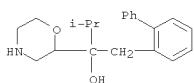
● HCl

RN 852236-47-6 CAPLUS
CN 2-Morpholinemethanol, α -(1-methylethyl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



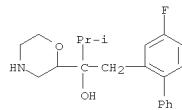
● HCl

RN 852236-49-8 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



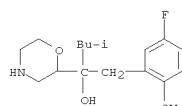
● HCl

RN 852236-51-2 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

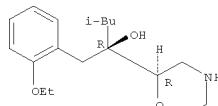
RN 852236-53-4 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

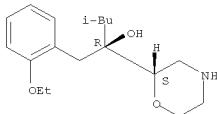
RN 852236-55-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (aR,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

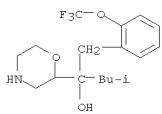


RN 852236-56-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (aR,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

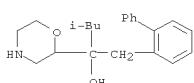


RN 852236-58-9 CAPLUS
CN 2-Morpholinemethanol, α -(2-methylpropyl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)

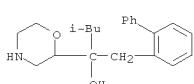


● HCl

RN 852236-60-3 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(2-methylpropyl)- (CA INDEX NAME)

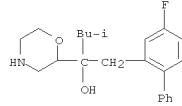


RN 852236-63-6 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



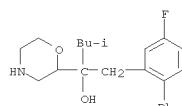
● HCl

RN 852236-65-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)

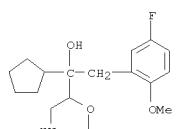


● HCl

RN 852236-66-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)

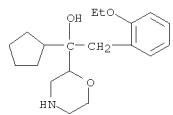


RN 852236-68-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -(5-fluoro-2-methoxyphenyl)methyl-, hydrochloride (9CI) (CA INDEX NAME)



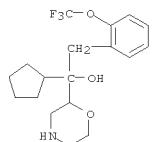
● HCl

RN 852236-70-5 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -(2-ethoxyphenyl)methyl-, hydrochloride (9CI) (CA INDEX NAME)



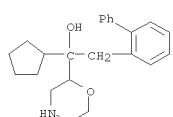
● HCl

RN 852236-72-7 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



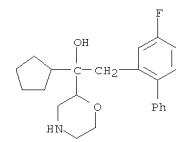
● HCl

RN 852236-74-9 CAPLUS
CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -cyclopentyl-, hydrochloride (9CI) (CA INDEX NAME)



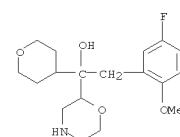
● HCl

RN 852236-76-1 CAPLUS



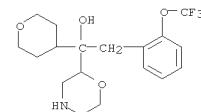
● HCl

RN 852236-78-3 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



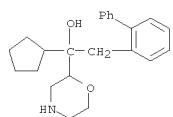
● HCl

RN 852236-84-1 CAPLUS
CN 2-Morpholinemethanol, α -(tetrahydro-2H-pyran-4-yl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



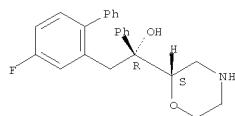
● HCl

RN 852431-21-1 CAPLUS
CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -cyclopentyl-, hydrochloride (9CI) (CA INDEX NAME)



RN 854139-91-6 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

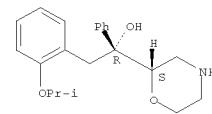
Relative stereochemistry.



● HCl

RN 857642-41-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

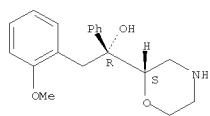
Relative stereochemistry.



● HCl

RN 857642-38-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

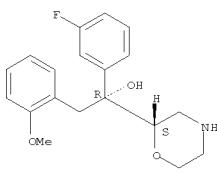


RN 857642-42-3 CAPLUS
CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

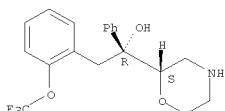
RN 857642-40-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,



● HCl

RN 857642-43-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[{2-(trifluoromethoxy)phenyl]methyl}-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

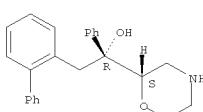
Relative stereochemistry.



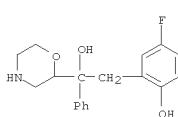
● HCl

RN 857642-44-5 CAPLUS
 CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

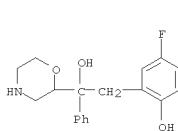


● HCl

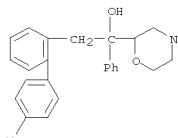


● HCl

RN 857642-61-6 CAPLUS
 CN 2-Morpholinemethanol, α -[{5-fluoro-2-hydroxyphenyl)methyl}- α -phenyl- (CA INDEX NAME)



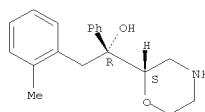
RN 857642-62-7 CAPLUS
 CN 2-Morpholinemethanol, α -{[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)



RN 857642-63-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)

RN 857642-52-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

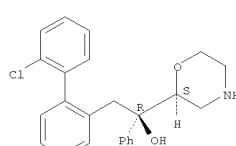
Relative stereochemistry.



● HCl

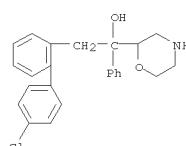
RN 857642-59-2 CAPLUS
 CN 2-Morpholinemethanol, α -{[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

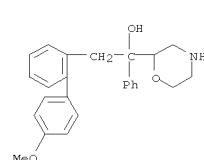


● HCl

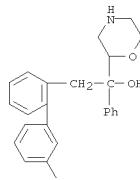
RN 857642-60-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride (9CI) (CA INDEX NAME)



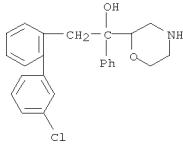
RN 857642-64-9 CAPLUS
 CN 2-Morpholinemethanol, α -{[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)



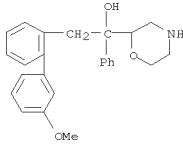
RN 857642-65-0 CAPLUS
 CN 2-Morpholinemethanol, α -{[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)



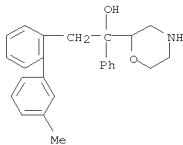
RN 857642-66-1 CAPLUS
 CN 2-Morpholinemethanol, α -{[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)



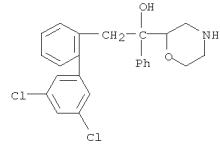
RN 857642-67-2 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



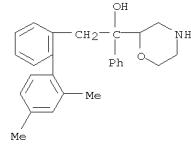
RN 857642-68-3 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



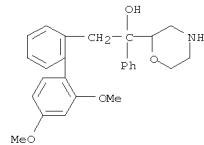
RN 857642-69-4 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



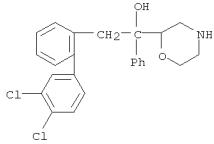
RN 857642-70-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



RN 857642-71-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



RN 857642-74-1 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005-523264 CAPLUS
DOCUMENT NUMBER: 143:59831

TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure

INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelon, David; Gehlert, Donald Richard; Yang, Charles Renkin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: FCT Int. Appl., 300 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

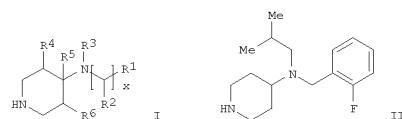
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-0837195	20041124
WO 2005053663	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, US, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-524450P	P 20031124
			US 2003-524781P	P 20031125

OTHER SOURCE(S): MARPAT 143:59831
GI



AB The invention relates to a preparation of aminopiperidine derivs. of formula I
[wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl,

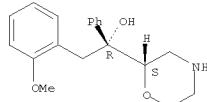
L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc., useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine deriv. II was prep'd. via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, redn. of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-79-6P 664360-82-1P 664360-84-3P
664360-88-7P 664360-89-8P 854139-91-6P
854139-92-7P 854139-93-8P 854139-94-9P
854139-95-0P 854139-96-1P 854139-97-2P
854139-98-3P 854139-99-4P 854140-00-4P
854140-01-5P 854140-04-8P
RL: PTC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 664360-72-9 CAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

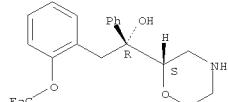


● HCl

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 664360-76-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

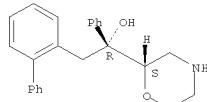


● HCl

RN 664360-77-4 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



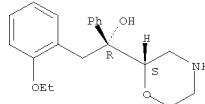
● HCl

RN 664360-79-6 CAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

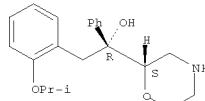


● HCl

RN 664360-74-1 CAPLUS

CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

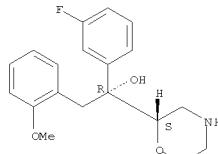


● HCl

RN 664360-75-2 CAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

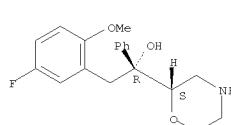


● HCl

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

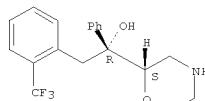


● HCl

RN 664360-82-1 CAPLUS

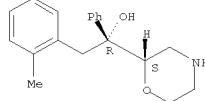
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

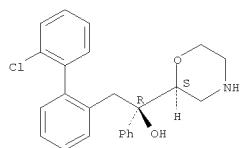


● HCl

RN 664360-88-7 CAPLUS

CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

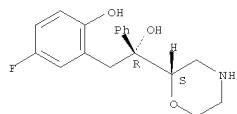
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

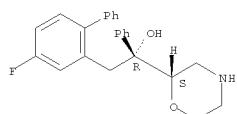
Absolute stereochemistry.



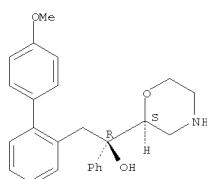
● HCl

RN 854139-91-6 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

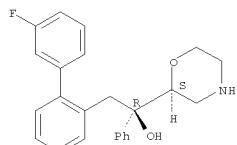


● HCl



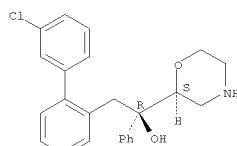
RN 854139-95-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 854139-96-1 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

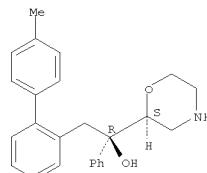


RN 854139-97-2 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

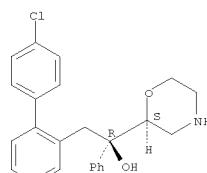
RN 854139-92-7 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



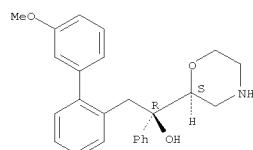
RN 854139-93-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



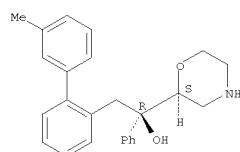
RN 854139-94-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



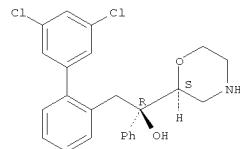
RN 854139-98-3 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



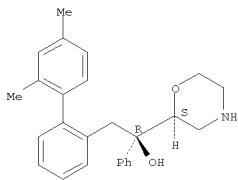
RN 854139-99-4 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



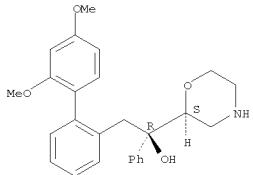
RN 854140-00-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



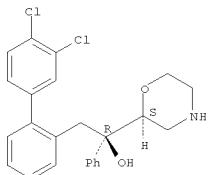
RN 854140-01-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (alphaR,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 854140-04-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (alphaR,2S)-rel- (CA INDEX NAME)

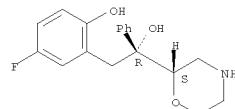
Relative stereochemistry.



IT 664361-42-6P

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminopiperidine derivs. useful for the treatment of cognitive failure)
RN 664361-42-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (alphaR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



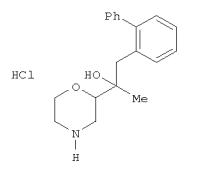
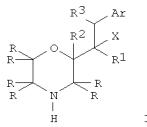
L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005451370 CAPLUS
DOCUMENT NUMBER: 142:482071
TITLE: Preparation of morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Campbell, Gordon Iain; Cases-Thomas, Manuel Javier; Man, Teresa; Masters, John Joseph; Rudyk, Helene; Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int'l. Appl., 133 pp.
CODEN: PIIXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047272	A1	20050526	WO 2004-US32771	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, T2, UA, UG, US, U2, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GH, GN, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004289616	A1	20050526	AU 2004-289616	20041028
CA 2544649	A1	20050526	CA 2004-2544649	20041028
EP 1682523	A1	20060726	EP 2004-794209	20041028
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HR				
CN 1878762	A	20061213	CH 2004-80033115	20041028
BR 2004015273	A	20061219	BR 2004-15273	20041028
JP 2007510720	T	20070426	JP 2006-533492	20041028
US 2007083046	A1	20070412	US 2006-577841	20060429
MX 2006PA05226	A	20060720	MX 2006-PA5226	20060509
KR 783855	B1	20071210	KR 2006-708999	20060509
NO 2006002700	A	20060808	NO 2006-2700	20060612
PRIORITY APPLN. INFO.:				
			GB 2003-26148	A 20031110
			US 2004-535459P	P 20040109
			WO 2004-US32771	W 20041028

OTHER SOURCE(S): CASREACT 142:482071; MARPAT 142:482071
GI

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [X = OH, alkoxy, NH₂, etc.; R independently = H, alkyl with provisions; R1 = (un)substituted-alkyl, -alkoxy, CN, etc.; R2 = H, alkyl; R3 = H, alkyl; Ar = (un)substituted-Ph, -5 to 6-membered heteroaryl J and their pharmaceutically acceptable salts, are prepared and disclosed as norepinephrine reuptake inhibitors. Thus, e.g., II was prepared by conversion of 4-benzyl-morpholine-2-carbonitrile into the resp.

carboxylic Et ester followed by amidation with N,N-dimethylhydroxylamine and subsequent Grignard reactions with Mn magnesium bromide and 2-phenylbenzyl magnesium bromide followed by debenzylation and conversion into the HCl salt. The activity of I was evaluated in a CYP2D6 inhibition assay and preferred compds. of the invention exhibited an IC₅₀ higher than

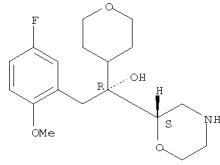
6 μ M. I as norepinephrine reuptake inhibitors should prove useful in the treatment of disorders that are associated with norepinephrine dysfunction. Pharmaceutical compns. comprising I are disclosed.

IT 852236-82-9P
RL: IMP (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-82-9 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1), (alphaR,2S)-rel- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 852236-37-4P 852236-38-5P 852236-42-1P

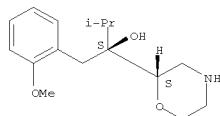
852236-43-2P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-37-4 CAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2S)-rel- (CA INDEX NAME)

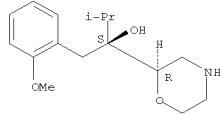
Relative stereochemistry.



RN 852236-38-5 CAPLUS

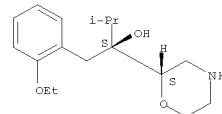
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852236-42-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2R)-rel- (CA INDEX NAME)

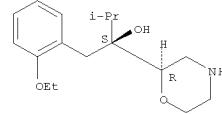
Relative stereochemistry.



RN 852236-43-2 CAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

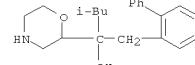


IT 852236-60-3P 852236-87-4P 852237-02-6P

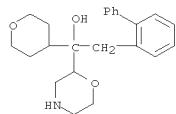
852237-05-9P 852237-08-2P 852237-11-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

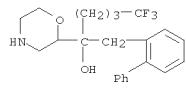
RN 852236-60-3 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(2-methylpropyl)- (CA INDEX NAME)

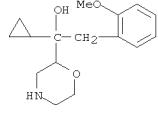
RN 852236-87-4 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

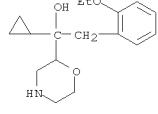
RN 852237-02-6 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(4,4,4-trifluorobutyl)- (CA INDEX NAME)

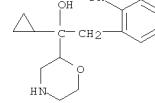
RN 852237-05-9 CAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]- (CA INDEX NAME)

RN 852237-08-2 CAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]- (CA INDEX NAME)

RN 852237-11-7 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -cyclopropyl- (CA INDEX NAME)

IT 852236-27-2P 852236-29-4P 852236-31-0P

852236-33-0P 852236-35-2P 852236-39-6P

852236-40-9P 852236-44-3P 852236-45-4P

852236-47-6P 852236-49-8P 852236-51-2P

852236-53-4P 852236-55-6P 852236-56-7P

852236-58-9P 852236-63-6P 852236-65-8P

852236-68-1P 852236-70-5P 852236-72-7P

852236-74-9P 852236-76-1P 852236-78-3P

852236-84-1P 852236-86-3P 852236-90-9P

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852236-99-6P 852237-01-5P 852237-04-8P

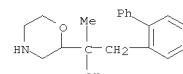
852237-07-1P 852237-10-6P 852237-14-0P

852237-21-9P 852237-23-1P 852237-53-7P

852237-54-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

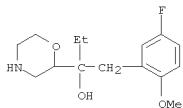
RN 852236-27-2 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

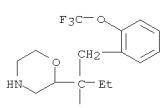
RN 852236-29-4 CAPLUS

CN 2-Morpholinemethanol, α -ethyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



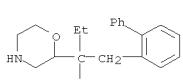
● HCl

RN 852236-31-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-trifluoromethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-33-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -ethyl-, hydrochloride (9CI) (CA INDEX NAME)

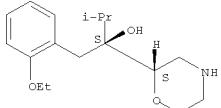


● HCl

RN 852236-35-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 (Continued)

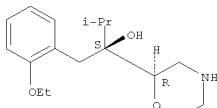
Relative stereochemistry.



● HCl

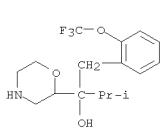
RN 852236-45-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

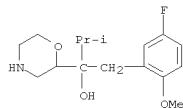


● HCl

RN 852236-47-6 CAPLUS
 CN 2-Morpholinemethanol, α -(1-methylethyl)- α -[(2-trifluoromethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



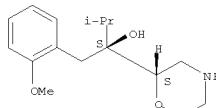
● HCl



● HCl

RN 852236-39-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (9CI) (CA INDEX NAME)

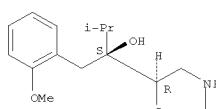
Relative stereochemistry.



● HCl

RN 852236-40-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

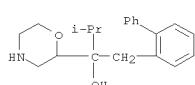


● HCl

RN 852236-44-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-

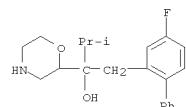
L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 (Continued)

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



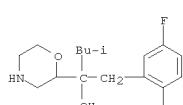
● HCl

RN 852236-51-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



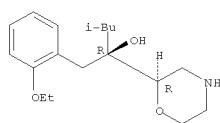
● HCl

RN 852236-53-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



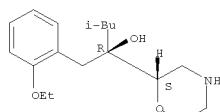
● HCl

RN 852236-55-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (α R,2R)-rel- (CA INDEX NAME)

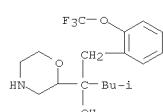


RN 852236-56-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (aR,2S)-rel- (CA INDEX NAME)

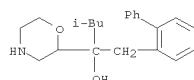
Relative stereochemistry.



RN 852236-58-9 CAPLUS
CN 2-Morpholinemethanol, α -(2-methylpropyl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)

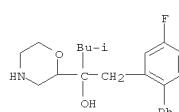


RN 852236-63-6 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



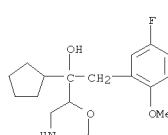
● HCl

RN 852236-65-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



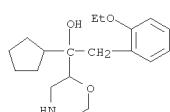
● HCl

RN 852236-68-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



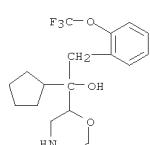
● HCl

RN 852236-70-5 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



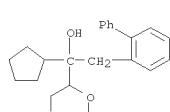
● HCl

RN 852236-72-7 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



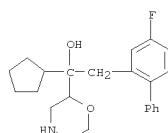
● HCl

RN 852236-74-9 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -cyclopentyl-, hydrochloride (9CI) (CA INDEX NAME)



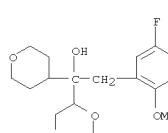
● HCl

RN 852236-76-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-



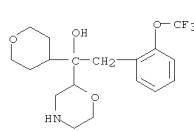
● HCl

RN 852236-78-3 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



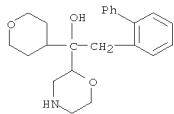
● HCl

RN 852236-84-1 CAPLUS
CN 2-Morpholinemethanol, α -[(tetrahydro-2H-pyran-4-yl)- α -[(2-trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



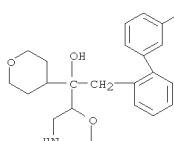
● HCl

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 852236-86-3 CAPLUS
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

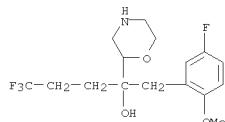
RN 852236-90-9 CAPLUS
CN 2-Morpholinemethanol, α -{[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

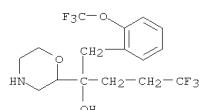
RN 852236-93-2 CAPLUS
CN 2-Morpholinemethanol, α -{[(5-fluoro-2-methoxyphenyl)methyl]- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



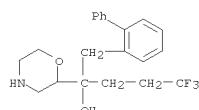
● HCl

RN 852236-95-4 CAPLUS
CN 2-Morpholinemethanol, α -{[2-(trifluoromethoxy)phenyl]methyl}- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

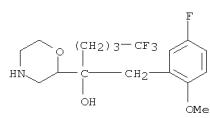
RN 852236-97-6 CAPLUS
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

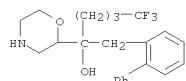
RN 852236-99-8 CAPLUS
CN 2-Morpholinemethanol, α -{[(5-fluoro-2-methoxyphenyl)methyl]- α -(4,4,4-trifluorobutyl)-, hydrochloride (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



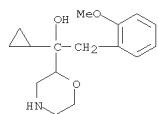
● HCl

RN 852237-01-5 CAPLUS
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -(4,4,4-trifluorobutyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

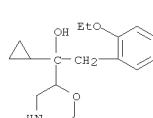
RN 852237-04-8 CAPLUS
CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

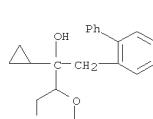
RN 852237-07-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



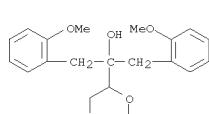
● HCl

RN 852237-10-6 CAPLUS
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -cyclopropyl-, hydrochloride (9CI) (CA INDEX NAME)



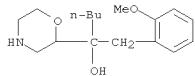
● HCl

RN 852237-14-0 CAPLUS
CN 2-Morpholinemethanol, α , α -bis[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



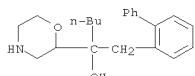
● HCl

RN 852237-21-9 CAPLUS
CN 2-Morpholinemethanol, α -butyl- α -[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



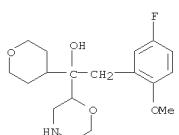
● HCl

RN 852237-23-1 CAPLUS
 CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -butyl-, hydrochloride (9CI) (CA INDEX NAME)



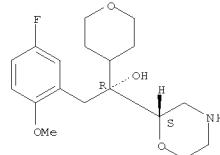
● HCl

RN 852237-53-7 CAPLUS
 CN 2-Morpholinemethanol, α -((5-fluoro-2-methoxyphenyl)methyl)- α - (tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

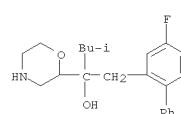


RN 852237-54-8 CAPLUS
 CN 2-Morpholinemethanol, α -((5-fluoro-2-methoxyphenyl)methyl)- α - (tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (CA INDEX NAME)

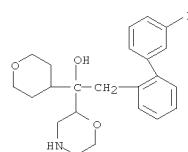
Relative stereochemistry.



IT 852236-66-9P 852236-91-OP
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)
 RN 852236-66-9 CAPLUS
 CN 2-Morpholinemethanol, α -((4-fluoro-1,1'-biphenyl)-2-ylmethyl)- α - (2-methylpropyl)- (CA INDEX NAME)



RN 852236-91-0 CAPLUS
 CN 2-Morpholinemethanol, α -((3'-fluoro-1,1'-biphenyl)-2-ylmethyl)- α - (tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

ACCESSION NUMBER: 2005216719 CAPLUS

DOCUMENT NUMBER: 142:291416

TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors

INVENTOR(S): Kelsey, Douglas Kenneth

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 299 pp.

CODEN: PIXDZ

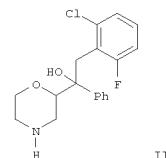
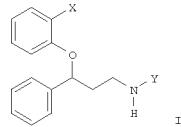
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, RG, RZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532349	A1	20050310	CA 2004-2532349	20040825
EP 1660185	A2	20060531	EP 2004-780429	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007032554	A1	20070208	US 2006-568269	20060214
PRIORITY APFLN. INFO.: US 2003-498018P			US 2003-498018P	P 20030827
			WO 2004-US25591	W 20040825

OTHER SOURCE(S): MARPAT 142:291416
GI

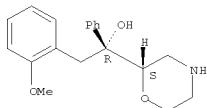
AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-3P 664360-73-0P 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-79-6P 664360-82-1P 664360-84-3P
 664360-88-7P 664360-89-8P 664360-96-7P
 664360-97-8P 664360-98-9P 664360-99-0P
 664361-00-6P 664361-01-7P 664361-02-8P
 664361-03-9P 664361-04-0P 664361-05-1P
 664361-06-2P 664361-09-5P 664361-42-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 CAPLUS

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

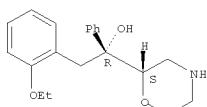
Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

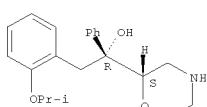
Absolute stereochemistry.



● HCl

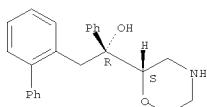
RN 664360-74-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

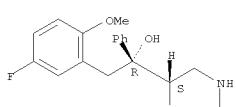
L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 664360-79-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

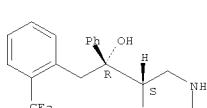
Absolute stereochemistry.



● HCl

RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

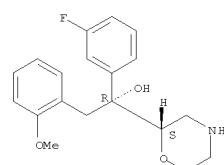


RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

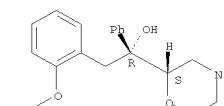
Absolute stereochemistry.



● HCl

RN 664360-76-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

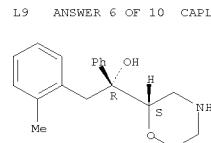
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

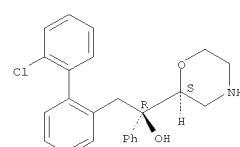
Absolute stereochemistry.



● HCl

RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

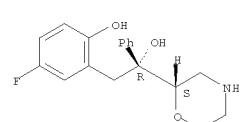
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

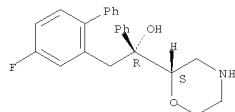
Absolute stereochemistry.



● HCl

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 664360-96-7 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (CA INDEX NAME)

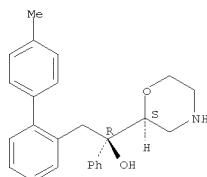
Absolute stereochemistry.



● HCl

RN 664360-97-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



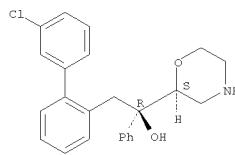
RN 664360-98-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



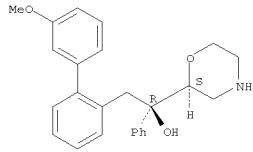
L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



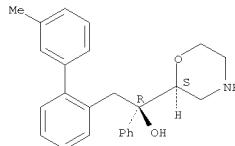
RN 664361-02-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-03-9 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

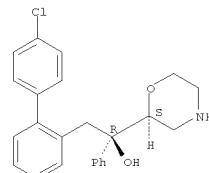
Absolute stereochemistry.



RN 664361-04-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

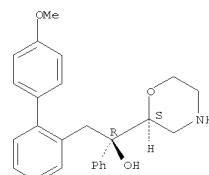
Absolute stereochemistry.

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



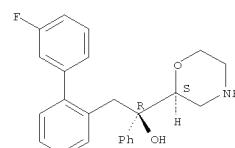
RN 664360-99-0 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



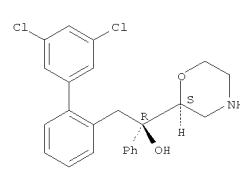
RN 664361-00-6 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



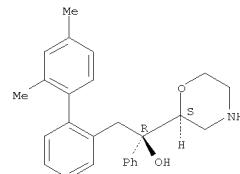
RN 664361-01-7 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



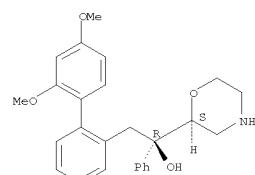
RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



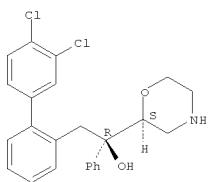
RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



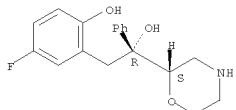
RN 664361-09-5 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-42-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

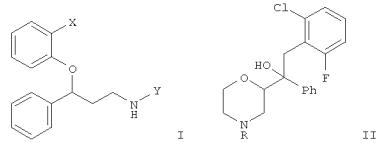
Absolute stereochemistry.



L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:216660 CAPLUS
 DOCUMENT NUMBER: 142:291415
 TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors
 INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 300 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, IR, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P 20030827
			WO 2004-US25593	W 20040825

OTHER SOURCE(S): CASREACT 142:291415; MARPAT 142:291415
 GI



AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment

L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 an effective amt. of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alky], as described in U.S. patent No. 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the prepn. of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine deriv. II•HCl (R = H) was prep'd. via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanon by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylatn of the obtained alc. I (R = Bn). The preferred

invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-79-6P 664360-82-1P 664360-84-3P
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 664361-00-6P 664361-01-7P 664361-02-8P
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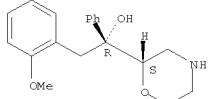
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 CAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

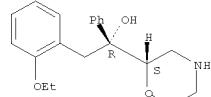


● HCl

RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

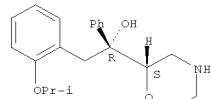
L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

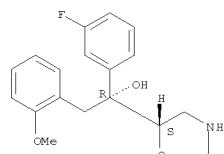
Absolute stereochemistry.



● HCl

RN 664360-75-2 CAPLUS
 CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

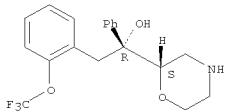
Absolute stereochemistry.



● HCl

RN 664360-76-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride, (α R,2S)- (9CI)
 (CA INDEX NAME)

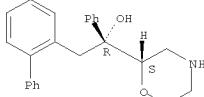
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

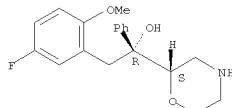
Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

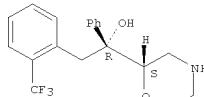
Absolute stereochemistry.



● HCl

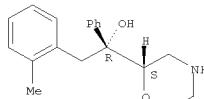
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-trifluoromethyl)phenyl]methyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-84-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

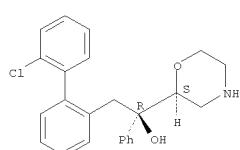
Absolute stereochemistry.



● HCl

RN 664360-88-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

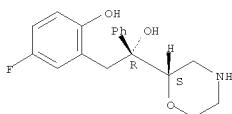
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

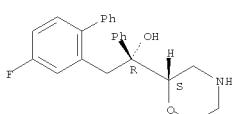
Absolute stereochemistry.



● HCl

RN 664360-96-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

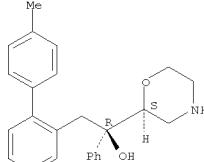
Absolute stereochemistry.



● HCl

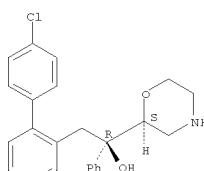
RN 664360-97-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



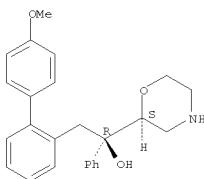
RN 664360-98-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



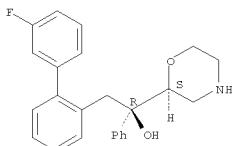
RN 664360-99-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



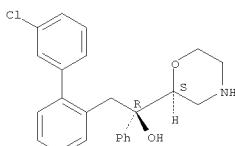
RN 664361-00-6 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



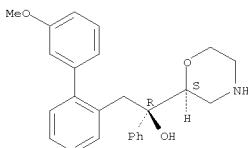
RN 664361-01-7 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



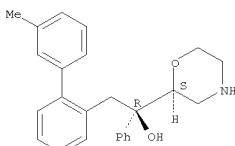
RN 664361-02-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



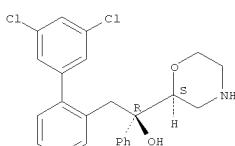
RN 664361-03-9 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



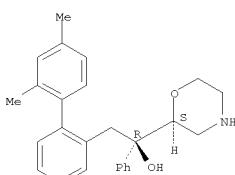
RN 664361-04-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

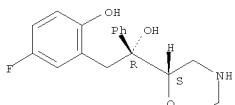
Absolute stereochemistry.



RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

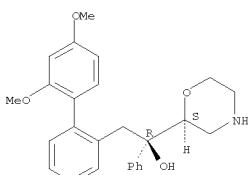
Absolute stereochemistry.

Absolute stereochemistry.



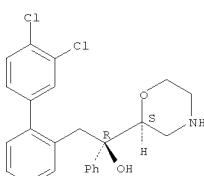
RN 664361-07-3 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-09-5 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



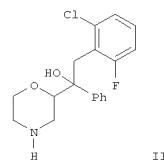
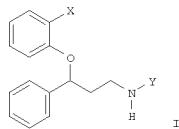
RN 664361-42-6 CAPLUS

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005216659 CAPLUS
 DOCUMENT NUMBER: 142:291414
 TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
 INVENTOR(S): Sumner, Calvin Russell
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 304 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020975	A2	20050310	WO 2004-US25592	20040825
WO 2005020975	A3	20050602		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, ME, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BY, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2007105960	A1	20070510	US 2006-566244	20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P 20030827
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OTHER SOURCE(S): MARPAT 142:291414
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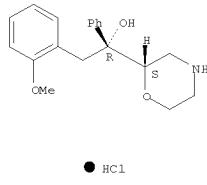
L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB or Provided are methods and medicaments for treating a learning disability a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-phenyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).
 IT 664360-72-9 664360-73-0 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-79-6P 664360-82-1P 664360-84-3P
 664360-88-7P 664360-89-8P 664360-96-7P
 664360-97-8P 664360-98-9P 664360-99-0P
 664361-00-0P 664361-01-7P 664361-02-8P
 664361-03-9P 664361-04-0P 664361-05-1P
 664361-06-2P 664361-09-5P 664361-42-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

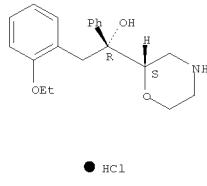
L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 664360-72-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



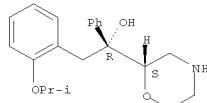
RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

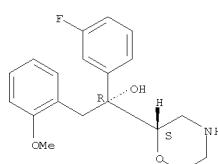
Absolute stereochemistry.



● HCl

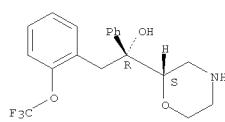
L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 664360-75-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



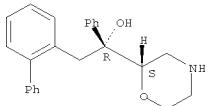
RN 664360-76-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl]-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)-(9CI) (CA INDEX NAME)

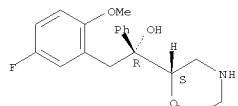
Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

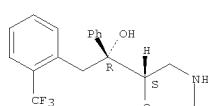
Absolute stereochemistry.



● HCl

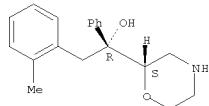
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-84-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

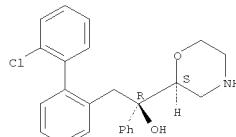
Absolute stereochemistry.



● HCl

RN 664360-88-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

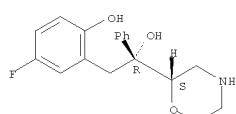
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

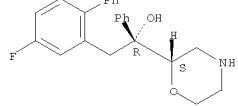
Absolute stereochemistry.



● HCl

RN 664360-96-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

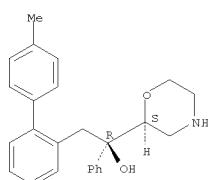
Absolute stereochemistry.



● HCl

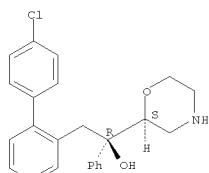
RN 664360-97-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



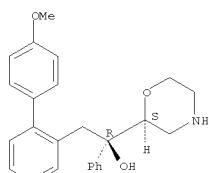
RN 664360-98-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



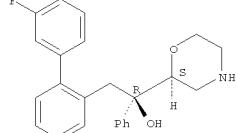
RN 664360-99-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



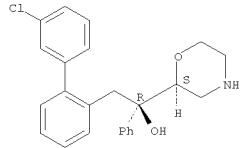
RN 664361-00-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



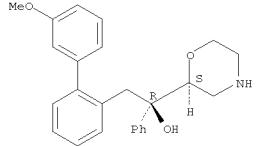
RN 664361-01-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(3-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



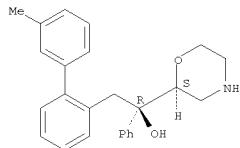
RN 664361-02-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



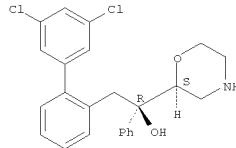
RN 664361-03-9 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



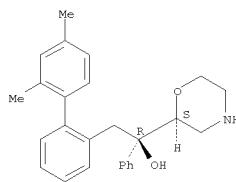
RN 664361-04-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



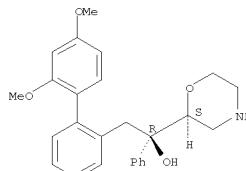
RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



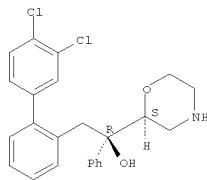
RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



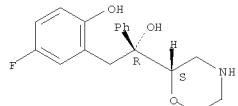
RN 664361-09-5 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-42-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1036891 CAPLUS
DOCUMENT NUMBER: 142:16841
TITLE: Treatment of emotional dysregulation
INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann;
Michelson,

PATENT ASSIGNEE(S): David; Reimherr, Frederick William
SOURCE: Eli Lilly and Company, USA
PCT Int. Appl., 155 pp.

DOCUMENT TYPE: CODEN: PIXXD2
Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004-US13005	20040511
WO 2004103356	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LE, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, US, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RO, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DR, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
RW:				

PRIORITY APPLN. INFO.: US 2003-470752 P 20030515

OTHER SOURCE(S): MARPAT 142:16841

AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine reuptake inhibitor.

IT 664360-72-9P 664360-73-0P 664360-74-1P

664360-76-3P 664360-77-4P 664360-79-6P

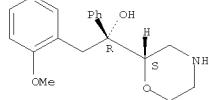
664360-82-1P 664360-84-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of emotional dysregulation)

RN 664360-72-9 CAPLUS

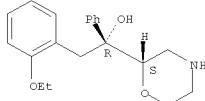
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

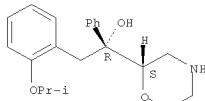
Absolute stereochemistry.



● HCl

RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

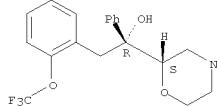
Absolute stereochemistry.



● HCl

RN 664360-76-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

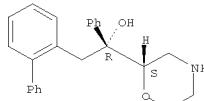
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

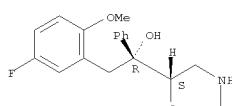
Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

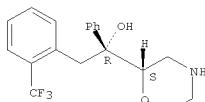
Absolute stereochemistry.



● HCl

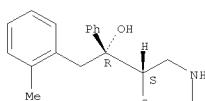
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-84-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

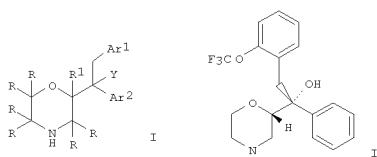
ACCESSION NUMBER: 2004:182855 CAPLUS
 DOCUMENT NUMBER: 140:217649

TITLE: Preparation of aryl and heteroaryl morpholine derivatives as norepinephrine reuptake inhibitors
 INVENTOR(S): Cases-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Peteira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudy, Helene Catherine Eugenie; Walter, Magnus Wilhelm
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 82 pp.
 CODEN: PIXKD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018441	A1	20040304	WO 2003-US23270	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NL, NO, NZ, OM, PG, PH, PL, PT, RO, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YO, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2003268024	A1	20040311	AU 2003-268024	20030818
EP 1534694	A1	20050601	EP 2003-748975	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HO, SK				
US 2006003998	A1	20060105	US 2005-524921	20050215
PRIORITY APPLN. INFO.:			GB 2002-19687	A 20020823
			US 2002-415303P	P 20021001
			WO 2003-US23270	W 20030818

OTHER SOURCE(S): MARPAT 140:217649
 GI



AB Morpholine derivs. of formula I [$R =$ independently H, alkyl; $R_1 =$ H, alkyl; $Y =$ OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared. The compds. are selective inhibitors of the reuptake of norepinephrine. Thus, II·HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethane (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had K_i values less than 500 nM at the norepinephrine transporter in a scintillation proximity assay.

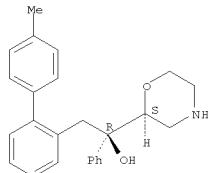
IT 664360-97-8P 664360-98-9P 664360-99-0P
664361-00-6P 664361-01-7P 664361-02-8P
664361-03-9P 664361-04-0P 664361-05-1P
664361-06-2P 664361-09-5P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-97-8 CAPLUS

CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-98-9 CAPLUS

CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

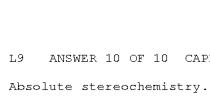
Absolute stereochemistry.



RN 664361-01-7 CAPLUS

CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

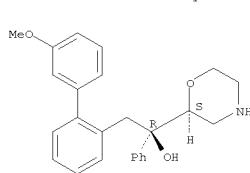
Absolute stereochemistry.



RN 664361-02-8 CAPLUS

CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

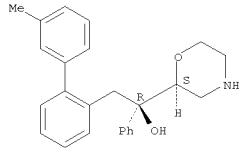
Absolute stereochemistry.



RN 664361-03-9 CAPLUS

CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

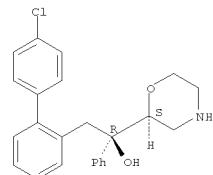
Absolute stereochemistry.



RN 664361-04-0 CAPLUS

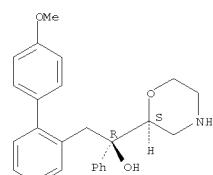
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



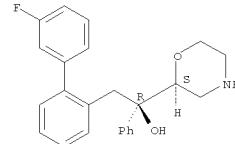
RN 664360-99-0 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

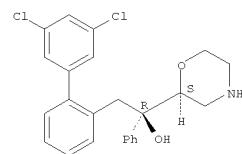


RN 664361-00-6 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

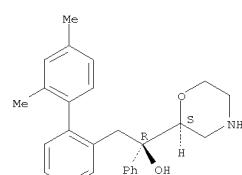


RN 664361-01-7 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)



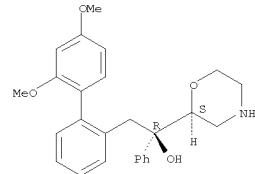
RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



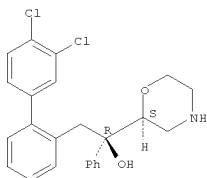
RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



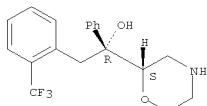
RN 664361-09-5 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 664361-41-5P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYR (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664361-41-5 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (aR,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

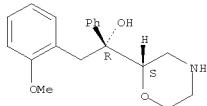


IT 664360-82-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.

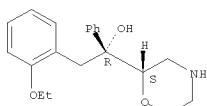
L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
664361-39-1P 664361-40-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-72-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



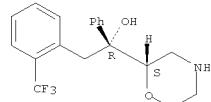
RN 664360-73-0 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-74-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

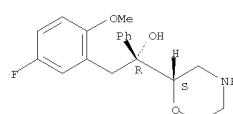
Absolute stereochemistry.



IT 664360-79-6P 664361-42-6P
RL: PAC (Pharmacological activity); ECT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-79-6 CAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

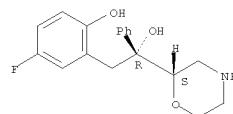
Absolute stereochemistry.



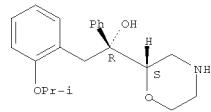
● HCl

RN 664361-42-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



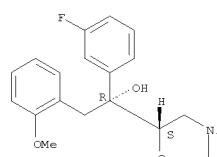
IT 664360-72-9P 664360-73-OP 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-84-3P 664360-88-7P 664360-89-8P
664360-96-7P 664361-37-9P 664361-38-0P



● HCl

RN 664360-75-2 CAPLUS
CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

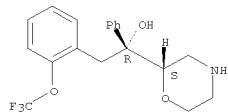
Absolute stereochemistry.



● HCl

RN 664360-76-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

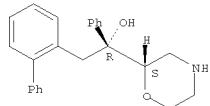
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

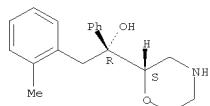
Absolute stereochemistry.



● HCl

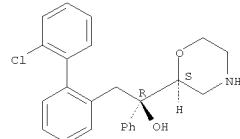
RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

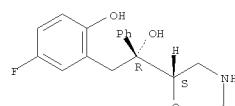
RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

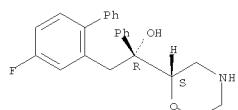
Absolute stereochemistry.



● HCl

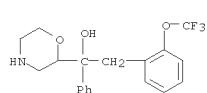
RN 664360-96-7 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



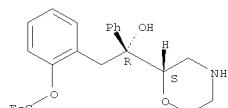
● HCl

RN 664361-37-9 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)

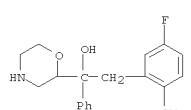


RN 664361-38-0 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

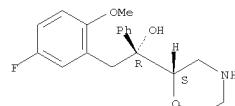


RN 664361-39-1 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl- (CA INDEX NAME)



RN 664361-40-4 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
	ENTRY	SESSION	
FULL ESTIMATED COST	54.98	429.58	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
	ENTRY	SESSION	
CA SUBSCRIBER PRICE	-8.00	-8.00	

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 provided by InfoChem.

STRUCTURE FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6
 DICTIONARY FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of

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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-12 7-8 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 1-14 2-3 2-18 3-4 3-19 4-5 5-6 5-21 6-20 7-17 15-16 15-17
15-21 15-26 26-27
normalized bonds :
7-12 7-8 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :
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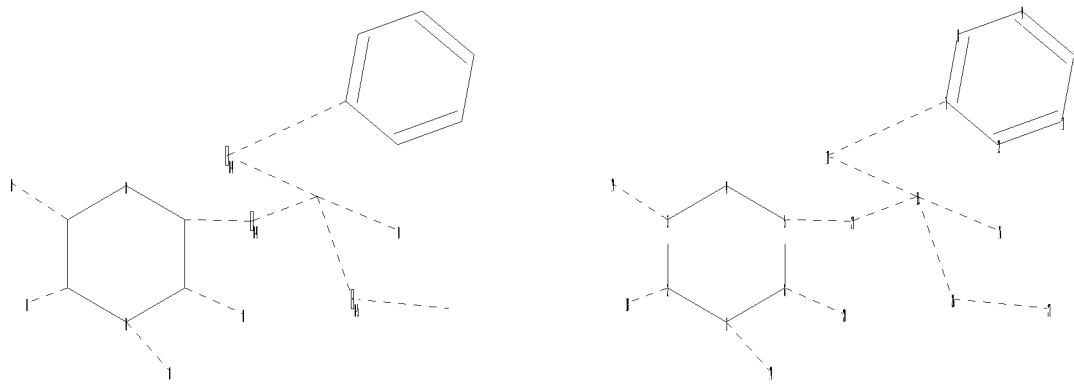
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Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 26:CLASS 27:CLASS
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L10      STRUCTURE UPLOADED
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=> d
L10 HAS NO ANSWERS
L10          STR
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
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Structure attributes must be viewed using STN Express query preparation.
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chain nodes :

14 15 16 17 18 19 20 21 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-14 2-18 3-19 5-21 6-20 7-17 15-16 15-17 15-21 15-26 26-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-12 7-8 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 1-14 2-3 2-18 3-4 3-19 4-5 5-6 5-21 6-20 7-17 15-16 15-17

15-21 15-26 26-27

normalized bonds :

7-12 7-8 8-9 9-10 10-11 11-12

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FULL SCREEN SEARCH COMPLETED - 18294 TO ITERATE

100.0% PROCESSED 18294 ITERATIONS          28 ANSWERS
SEARCH TIME: 00.00.01

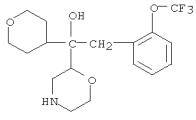
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=> s l15 not l16
L17      5 L15 NOT L16

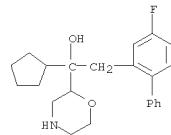
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L17 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-23-3 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -(tetrahydro-2H-pyran-4-yl)- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)
MF C18 H24 F3 N O4
CI CCM
SR CA



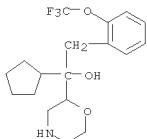
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-22-2 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- (CA INDEX NAME)
MF C23 H28 F N O2
CI CCM
SR CA



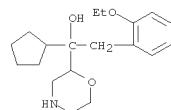
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-20-0 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)
MF C18 H24 F3 N O3
CI CCM
SR CA



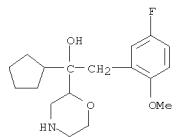
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-19-7 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]- (CA INDEX NAME)
MF C19 H29 N O3
CI CCM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-18-6 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-methoxyphenyl)methyl]- (CA INDEX NAME)
MF C18 H26 F N O3
CI CCM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus			
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	0.00	-8.00	

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 FILE LAST UPDATED: 13 Feb 2008 (20080213/ED)

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FILE 'REGISTRY' ENTERED AT 12:12:55 ON 14 FEB 2008
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 L3 0 S L1 FULL

FILE 'STNGUIDE' ENTERED AT 12:13:41 ON 14 FEB 2008

FILE 'REGISTRY' ENTERED AT 12:14:21 ON 14 FEB 2008
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 L5 7 S L4
 L6 159 S L4 FULL
 L7 119 S L6 AND CAPLUS/LC
 L8 40 S L6 NOT L7

FILE 'CAPLUS' ENTERED AT 12:15:15 ON 14 FEB 2008
 L9 10 S L7

FILE 'REGISTRY' ENTERED AT 12:15:50 ON 14 FEB 2008
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 L11 1 S L10

L12 28 S L10 FULL
L13 STRUCTURE UPLOADED
L14 1 S L13
L15 28 S L13 FULL
L16 23 S L15 AND CAPLUS/LC
L17 5 S L15 NOT L16

FILE 'CAPLUS' ENTERED AT 12:20:45 ON 14 FEB 2008

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L18 4 L16

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ACCESSION NUMBER: 2008195116 CAPLUS

TITLE: Biomarker-optimized attention deficit-hyperactivity disorder (ADHD) treatment with selective norepinephrine reuptake inhibitors
INVENTOR(S): Lawrence, Donald Gilbert
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

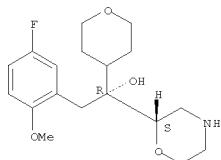
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2008020387	A1	20080124	US 2007-694099	20070330
			US 2006-788008P	P 20060331

AB The invention provides methods for predicting patient responsiveness to treatment of attention-deficit/hyperactivity disorder (ADHD) with selective norepinephrine reuptake inhibitors; identifying individuals requiring a higher than normal dose of atomoxetine for treating ADHD; and predicting patient responsiveness to treatment of neuropsychiatric diseases or disorders responsive to treatment with selective norepinephrine reuptake inhibitors. These methods are based on the identification of the variable number of tandem repeats (VNTR) polymorphism present in the 3' untranslated region of the human dopamine transporter (DAT 1) gene present in patient body fluid or tissue samples. Patients with a 10/10 VNTR genotype are considered poor responders to treatment with atomoxetine and other selective norepinephrine reuptake inhibitors for the indicated conditions.

IT 852237-54-8 852237-54-8D, salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (biomarker-optimized attention deficit-hyperactivity disorder and neuropsychiatric disorder treatment with selective norepinephrine reuptake inhibitors)

RN 852236-82-9 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1), (α R,2S)-rel- (CA INDEX NAME)

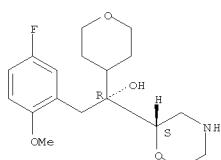
Relative stereochemistry.



● HCl

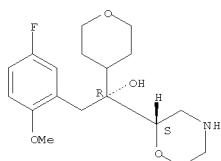
RN 852237-54-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852237-54-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



ACCESSION NUMBER: 20061331209 CAPLUS
 DOCUMENT NUMBER: 146:223523
TITLE: Synthesis of ¹¹C-labelled (R)-OHDMI and CFMME and their evaluation as candidate radioligands for

imaging
AUTHOR(S): Gulyas,
 Walter,
 Balasz; Gallagher, Peter T.; Dobson, David R.;
 Magnus W.; Rudyk, Helene; Farde, Lars; Halldin, Christer

CORPORATE SOURCE: Karolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital,
 Stockholm, S-17176, Swed.
SOURCE: Bioorganic & Medicinal Chemistry (2007), 15 (2), 616-625

PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:223523

AB (R)-1-(10,11-Dihydro-dibenzo[b,f]azepin-5-yl)-3-methylamino-propan-2-ol ((R)-OHDMI) and (S,S)-1-cyclopentyl-2-(5-fluoro-2-methoxy-phenyl)-1-morpholin-2-yl-ethanol (CFMME) were synthesized and found to be potent inhibitors of norepinephrine reuptake. Each was labeled efficiently in its Me group with carbon-11 ($t_{1/2} = 20.4$ min) as a prospective radioligand for imaging brain norepinephrine transporters (NET) with positron emission tomog. (PET). The uptake and distribution of radioactivity in brain following i.v. injection of each radioligand into cynomolgus monkey was examined *in vivo* with PET. After injection of (R)-[¹¹C]OHDMI, the maximal

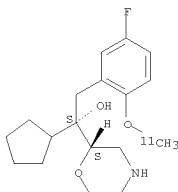
whole brain uptake of radioactivity was very low (1.1% of injected dose, I.D.). For occipital cortex, thalamus, lower brainstem, mesencephalon and cerebellum, radioactivity ratios to striatum at 93 min after radioligand injection were 1.35, 1.35, 1.2, 1.2 and 1.0, resp. After injection of [¹¹C]CFMME, radioactivity readily entered brain (3.5% I.D.). Ratios of radioactivity to cerebellum at 93 min for thalamus, occipital cortex, region of locus caeruleus, mesencephalon and striatum were 1.35, 1.3,

1.2 and 1.2, resp. Radioactive metabolites in plasma were measured by radio-HPLC. (R)-[¹¹C]OHDMI represented 75% of plasma radioactivity at 4 min after injection and 6% at 30 min. After injection of [¹¹C]CFMME, 84% of the radioactivity in plasma represented parent at 4 min and 20% at 30 min. Since the two new hydroxylated radioligands provide only modest regional differentiation in brain uptake and form potentially troublesome lipophilic radioactive metabolites, they are concluded to be inferior to existing radioligands, such as (S,S)-[¹⁸F]MeNER, (S,S)-[¹⁸F]MeNER-D2 and (S,S)-[¹⁸F]FRB-D4, for the study of brain NETs with PET *in vivo*.

IT 925232-43-5
RL: DGN (Diagnostic use); PRT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ¹¹C-labelled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)

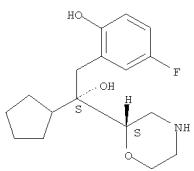
L18 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 925232-43-5 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-(methoxy-11C)-phenyl)methyl]-, (α S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 925232-42-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands
for PET imaging of central norepinephrine transporters)
RN 925232-42-4 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-hydroxyphenyl)methyl]-, (α S,2S)- (CA INDEX NAME)

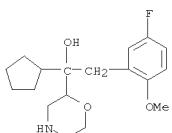
Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L18 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amt. of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy], etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prep'd. E.g., a 2-step synthesis of N-(2-methylpropyl)-N'[(2-fluorophenyl)methyl]piperidin-4-ane fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidin-1-carboxylic acid and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μ M, more preferably less than 500 nM at the norepinephrine transporter as detd. using the scintillation proximity assay.
IT 852236-68-10-5P 852236-70-5P 852236-72-7P
852236-74-9P 852236-76-1P 852236-78-3P
852236-84-1P 852431-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)
RN 852236-68-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

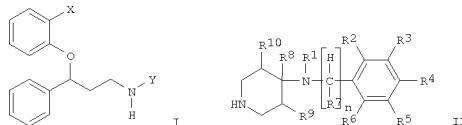


RN 852236-70-5 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L18 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
ACCESSION NUMBER: 2005:588645 CAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

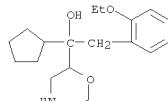
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
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EP 1729754	A1	20050707	CA 2004-2549304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, DE, DK, EP, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TH, CN 20070103				
JP 2007513945	A	20070531	CN 2004-80036841	20041201
US 2007015786	T	20070118	JP 2006-543830	20041201
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PRIORITY APPLN. INFO.:			US 2003-529428P	P 20031212
			WO 2004-US38221	W 20041201

OTHER SOURCE(S): MARPAT 143:115550
GI



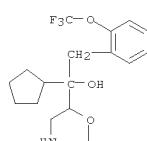
AB The invention relates to a method of preventing or treating hot flashes,

L18 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



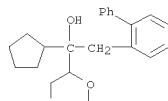
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RN 852236-72-7 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-trifluoromethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



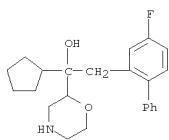
● HCl

RN 852236-74-9 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-biphenyl]-2-ylmethyl)- α -cyclopentyl]-, hydrochloride (9CI) (CA INDEX NAME)



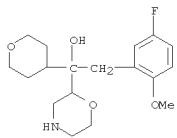
● HCl

RN 852236-76-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-



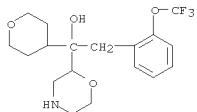
● HCl

RN 852236-78-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-84-1 CAPLUS
 CN 2-Morpholinemethanol, α -(tetrahydro-2H-pyran-4-yl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



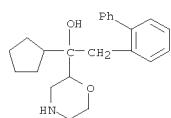
● HCl

L18 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005451370 CAPLUS
 DOCUMENT NUMBER: 142:482071
 TITLE: Preparation of morpholine derivatives as norepinephrine reuptake inhibitors
 INVENTOR(S): Campbell, Gordon Iain; Cases-Thomas, Manuel Javier; Man, Teresa; Masters, John Joseph; Rudyk, Helene; Catherine Eugenie Walter, Magnus Wilhelm
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int'l. Appl., 133 pp.
 CODEN: PIIXD2

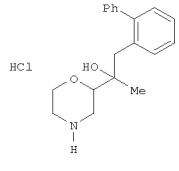
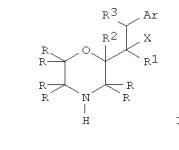
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047272	A1	20050526	WO 2004-US32771	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, NG, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, T2, UA, UG, US, VE, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GH, GN, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004289616	A1	20050526	AU 2004-289616	20041028
CA 2544649	A1	20050526	CA 2004-2544649	20041028
EP 1682523	A1	20060726	EP 2004-794209	20041028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HO, PL, SK,				
HR				
CN 1878762	A	20061213	CN 2004-80033115	20041028
BR 2004015273	A	20061219	BR 2004-15273	20041028
JP 2007510720	T	20070426	JP 2006-533492	20041028
US 2007083046	A1	20070412	US 2006-577841	20060429
MX 2006PA05226	A	20060720	MX 2006-PA5226	20060509
KR 783855	B1	20071210	KR 2006-708999	20060509
NO 2006002700	A	20060808	NO 2006-2700	20060612
PRIORITY APPLN. INFO.:				
			GB 2003-26148	A 20031110
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			WO 2004-US32771	W 20041028

OTHER SOURCE(S): CASREACT 142:482071; MARPAT 142:482071
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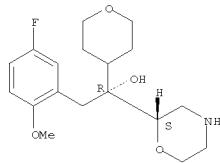
● HCl



AB Title compds. I [X = OH, alkoxy, NH₂, etc.; R independently = H, alkyl with provisions; R1 = (un)substituted-alkyl, -alkoxy, CN, etc.; R2 = H, alkyl; R3 = H, alkyl; Ar = (un)substituted-Ph, -5- to 6-membered heteroaryl J and their pharmaceutically acceptable salts, are prepared and disclosed as norepinephrine reuptake inhibitors. Thus, e.g., II was prepared by conversion of 4-benzyl-morpholine-2-carbonitrile into the resp. carboxylic Et ester followed by amidation with N,N-dimethylhydroxylamine and subsequent Grignard reactions with Mn magnesium bromide and 2-phenylbenzyl magnesium bromide followed by debenzylation and conversion into the HCl salt. The activity of I was evaluated in a CYP2D6 inhibition assay and preferred compds. of the invention exhibited an IC₅₀ higher than 6 μ M. I as norepinephrine reuptake inhibitors should prove useful in the treatment of disorders that are associated with norepinephrine dysfunction. Pharmaceutical compns. comprising I are disclosed.

IT 852236-82-9
 RL: IMP (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)
 RN 852236-82-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1), (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

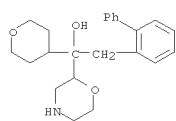
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852237-11-7P

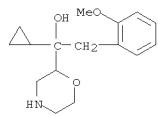
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

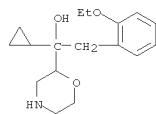
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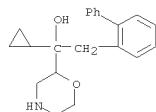
RN 852237-05-9 CAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]- (CA INDEX NAME)

RN 852237-08-2 CAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]- (CA INDEX NAME)

RN 852237-11-7 CAPLUS
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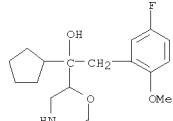


IT 852236-68-1P 852236-70-5P 852236-72-7P
852236-74-9P 852236-76-1P 852236-78-3P
852236-84-1P 852236-86-3P 852236-90-9P
852237-04-8P 852237-07-1P 852237-10-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

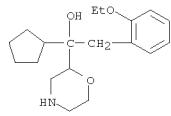
RN 852236-68-1 CAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

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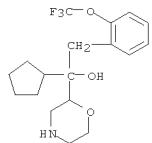
RN 852236-70-5 CAPLUS

L18 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



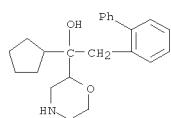
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RN 852236-72-7 CAPLUS

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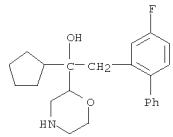
● HCl

RN 852236-74-9 CAPLUS

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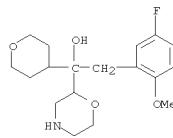
● HCl

RN 852236-76-1 CAPLUS
CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

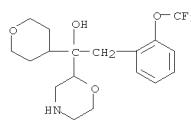
RN 852236-78-3 CAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

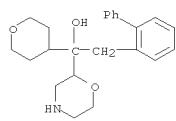
RN 852236-84-1 CAPLUS

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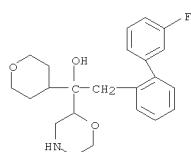
● HCl

RN 852236-86-3 CAPLUS
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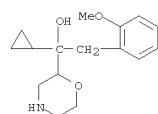
● HCl

RN 852236-90-9 CAPLUS
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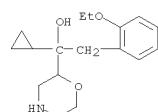
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RN 852237-04-8 CAPLUS
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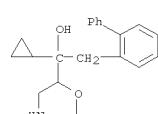
● HCl

RN 852237-07-1 CAPLUS
 CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



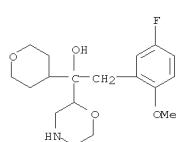
● HCl

RN 852237-10-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -cyclopropyl-, hydrochloride (9CI) (CA INDEX NAME)



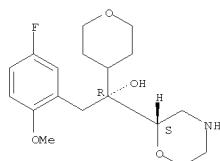
● HCl

RN 852237-53-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

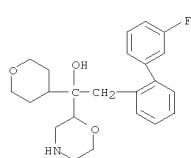


RN 852237-54-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, (ax,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 852236-91-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)
 RN 852236-91-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



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	ENTRY	SESSION	
FULL ESTIMATED COST	22.76	826.97	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
	ENTRY	SESSION	
CA SUBSCRIBER PRICE	-3.20	-11.20	

FILE 'REGISTRY' ENTERED AT 12:21:40 ON 14 FEB 2008
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STRUCTURE FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6
 DICTIONARY FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of

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isolated ring systems :  
containing 1 : 7 :
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L19 HAS NO ANSWERS
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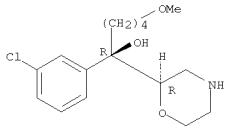
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RN 884512-45-2 REGISTRY
ED Entered STN: 16 May 2006
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(α R,2R)-rel- (CA INDEX NAME)
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CI CCM
SR CA

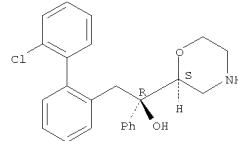
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-26-1 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 Cl N O2
CI CCM
SR CA

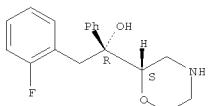
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 3 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 857729-25-0 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-,
(α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H20 F N O2
CI CCM
SR CA

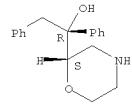
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-24-9 REGISTRY
ED Entered STN: 31 Jul 2005
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(α R,2S)-rel- (CA INDEX NAME)
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CI CCM
SR CA

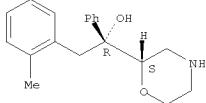
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-23-8 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-,
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FS STEREOSEARCH
MF C19 H23 N O2
CI CCM
SR CA

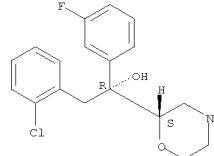
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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ED Entered STN: 31 Jul 2005
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FS STEREOSEARCH
MF C18 H19 Cl F N O2
CI CCM
SR CA

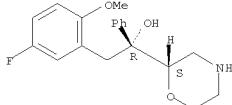
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-21-6 REGISTRY
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CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-,
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FS STEREOSEARCH
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CI CCM
SR CA

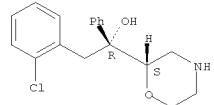
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-20-5 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-,
(α R, $2S$)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H20 Cl N O2
CI CCM
SR CA

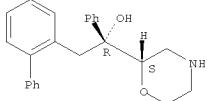
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-19-2 REGISTRY
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CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -phenyl-,
, (α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
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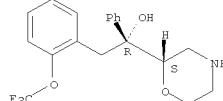
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-18-1 REGISTRY
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CN 2-Morpholinemethanol, α -([2-(trifluoromethoxy)phenyl]methyl)-, (α R,2S)-rel- (CA INDEX NAME)
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CI CCM
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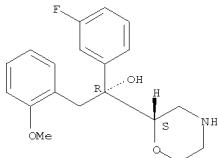
Relative stereochemistry.



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CI CCM
SR CA

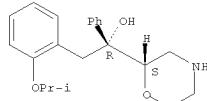
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 857729-16-9 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[2-(1-methylethoxy)phenyl]methyl)- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H27 N O3
CI CCM
SR CA

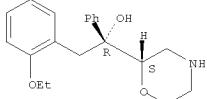
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 13 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 857729-15-8 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
(α R, $2S$)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O3
CI CCM
SR CA

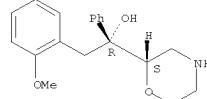
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 14 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 857729-14-7 REGISTRY
ED Entered STN: 31 Jul 2005
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,
(α R, $2S$)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N O3
CI CCM
SR CA

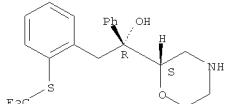
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 15 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 857642-47-8 REGISTRY
ED Entered STN: 29 Jul 2005
CN 2-Morpholinemethanol, α -phenyl- α -[(2-
[(trifluoromethyl)thio]phenyl)methyl]-, (α R, $2S$)-rel- (CA INDEX
NAME)
FS STEREOSEARCH
MF C19 H20 F3 N O2 S
CI CCM
SR CA

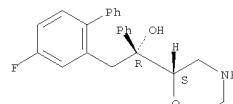
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 16 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-51-5 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(4-fluoro(1,1'-biphenyl)-2-yl)methyl]-
 α -phenyl-, (α R, $2S$)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 F N O2
CI CCM
SR CA

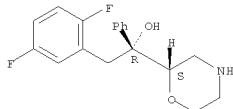
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 17 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-50-4 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-,
, (R,R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 F2 N O2
CI CCM
SR CA

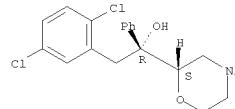
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 18 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-49-1 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-,
, (R,R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl2 N O2
CI CCM
SR CA

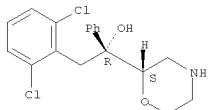
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 19 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-48-0 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-,
, (R,R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl2 N O2
CI CCM
SR CA

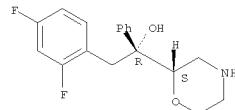
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 20 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-47-9 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-,
, (R,R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 F2 N O2
CI CCM
SR CA

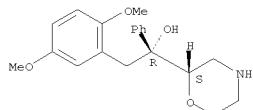
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 21 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-46-8 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, -(α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O4
CI CCM
SR CA

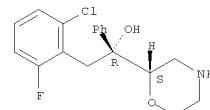
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

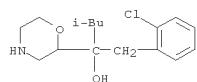
L24 ANSWER 22 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 854302-45-7 REGISTRY
ED Entered STN: 10 Jul 2005
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, -(α R,2S)-rel- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl F N O2
CI CCM
SR CA

Relative stereochemistry.



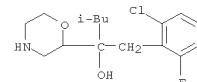
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 23 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-33-5 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)
MF C16 H24 Cl N O2
CI CCM
SR CA



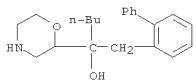
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 24 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-32-4 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)
MF C16 H23 Cl F N O2
CI CCM
SR CA

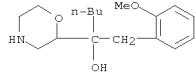


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 25 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-31-3 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -butyl-
(CA INDEX NAME)
MF C22 H29 N O2
CI CGM
SR CA

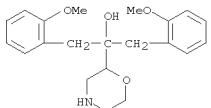


L24 ANSWER 26 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-30-2 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -butyl- α -[(2-methoxyphenyl)methyl]-
(CA INDEX NAME)
MF C17 H27 N O3
CI CGM
SR CA

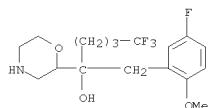


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 27 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-28-8 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α , α -bis[(2-methoxyphenyl)methyl]-
(CA INDEX NAME)
MF C21 H27 N O4
CI CGM
SR CA



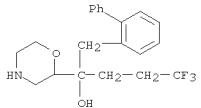
L24 ANSWER 28 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-27-7 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -{[(5-fluoro-2-methoxyphenyl)methyl]-
(4,4,4-trifluorobutyl)-
(CA INDEX NAME)
MF C17 H23 F4 N O3
CI CGM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

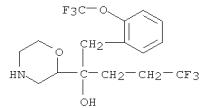
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 29 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-26-6 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(3,3,3-trifluoropropyl)- (CA INDEX NAME)
MF C21 H24 F3 N O2
CI CCM
SR CA



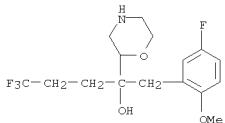
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 30 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-25-5 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(2-(trifluoromethoxy)phenyl)methyl]- α -(3,3,3-trifluoropropyl)- (CA INDEX NAME)
MF C16 H19 F6 N O3
CI CCM
SR CA



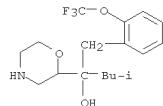
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 31 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-24-4 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(3,3,3-trifluoropropyl)- (CA INDEX NAME)
MF C16 H21 F4 N O3
CI CCM
SR CA



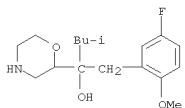
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 32 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-17-5 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -(2-methylpropyl)- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)
MF C17 H24 F3 N O3
CI CCM
SR CA



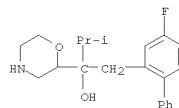
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 33 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-16-4 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)
MF C17 H26 F N O3
CI CGM
SR CA



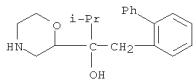
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 34 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-15-3 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(1-methylethyl)- (CA INDEX NAME)
MF C21 H26 F N O2
CI CGM
SR CA



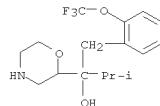
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 35 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-14-2 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(1-methylethyl)- (CA INDEX NAME)
MF C21 H27 N O2
CI CGM
SR CA



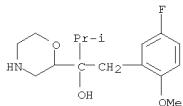
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 36 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-13-1 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -(1-methylethyl)- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)
MF C16 H22 F3 N O3
CI CGM
SR CA

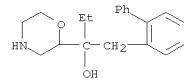


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 37 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-12-0 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(1-methylethyl)- (CA INDEX NAME)
MF C16 H24 F N O2
CI CGM
SR CA



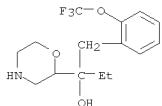
L24 ANSWER 38 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-11-9 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -ethyl- (CA INDEX NAME)
MF C20 H25 N O2
CI CGM
SR CA



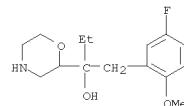
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 39 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-10-8 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -ethyl- α -[(2-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)
MF C15 H20 F3 N O3
CI CGM
SR CA



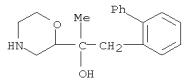
L24 ANSWER 40 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-09-5 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -ethyl- α -(5-fluoro-2-methoxyphenyl)methyl- (CA INDEX NAME)
MF C15 H22 F N O3
CI CGM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

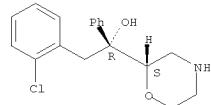
L24 ANSWER 41 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 852431-08-4 REGISTRY
ED Entered STN: 17 Jun 2005
CN 2-Morpholinemethanol, α -{[1,1'-biphenyl]-2-ylmethyl}- α -methyl-
(CA INDEX NAME)
MF C19 H23 N O2
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 42 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 793666-65-6 REGISTRY
ED Entered STN: 07 Dec 2004
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-,
(α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H20 Cl N O2
CI COM
SR CA

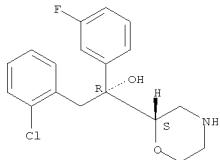
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 43 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 790207-20-4 REGISTRY
ED Entered STN: 29 Nov 2004
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl F N O2
CI COM
SR CA

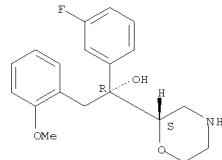
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 44 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 780156-38-7 REGISTRY
ED Entered STN: 25 Nov 2004
CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H22 F N O3
CI COM
SR CA

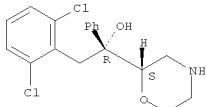
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 45 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 785047-43-0 REGISTRY
ED Entered STN: 21 Nov 2004
CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-,
, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl2 N O2
CI CCM
SR CA

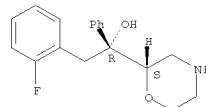
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 46 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 760944-34-1 REGISTRY
ED Entered STN: 12 Oct 2004
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-,
, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H20 F N O2
CI CCM
SR CA

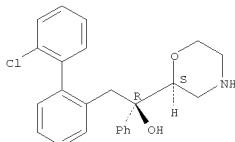
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 47 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 760172-63-2 REGISTRY
ED Entered STN: 11 Oct 2004
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 Cl N O2
CI CCM
SR CA

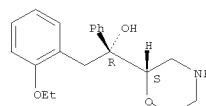
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 48 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 759694-59-2 REGISTRY
ED Entered STN: 08 Oct 2004
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
, (α R,2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O3
CI CCM
SR CA

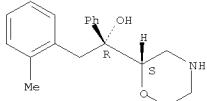
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 49 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 757940-98-0 REGISTRY
ED Entered STN: 07 Oct 2004
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-,
, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N O2
CI COM
SR CA

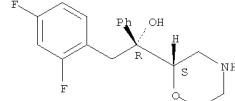
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 50 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 756475-53-3 REGISTRY
ED Entered STN: 04 Oct 2004
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-,
, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 F2 N O2
CI COM
SR CA

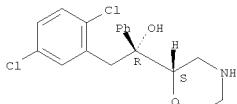
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 51 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 752902-94-8 REGISTRY
ED Entered STN: 28 Sep 2004
CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-,
, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl2 N O2
CI COM
SR CA

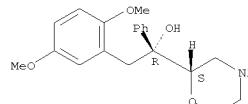
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 52 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 752201-49-3 REGISTRY
ED Entered STN: 27 Sep 2004
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-,
, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O4
CI COM
SR CA

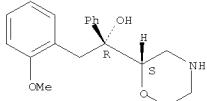
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

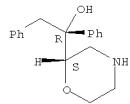
L24 ANSWER 53 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 750570-01-5 REGISTRY
ED Entered STN: 24 Sep 2004
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,
(α R, $2S$)- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N O2
CI CCM
SR CA

Absolute stereochemistry.



L24 ANSWER 54 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 747409-08-1 REGISTRY
ED Entered STN: 19 Sep 2004
CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-,
(α R, $2S$)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H21 N O2
CI CCM
SR CA

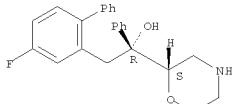
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

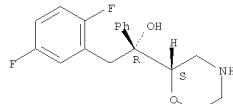
L24 ANSWER 55 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 744196-20-1 REGISTRY
ED Entered STN: 14 Sep 2004
CN 2-Morpholinemethanol, α -[(4-fluoro(1,1'-biphenyl)-2-yl)methyl]- α -phenyl-, (α R, $2S$)- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 F N O2
CI CCM
SR CA

Absolute stereochemistry.



L24 ANSWER 56 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 743418-65-7 REGISTRY
ED Entered STN: 13 Sep 2004
CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-,
(α R, $2S$)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 F2 N O2
CI CCM
SR CA

Absolute stereochemistry.

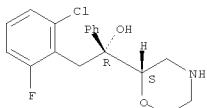


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 57 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 736926-30-0 REGISTRY
ED Entered STN: 01 Sep 2004
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 Cl F N O2
CI COM
SR CA

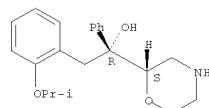
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 58 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 732983-60-7 REGISTRY
ED Entered STN: 26 Aug 2004
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H27 N O3
CI COM
SR CA

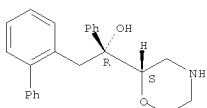
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 59 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 701207-86-0 REGISTRY
ED Entered STN: 30 Jun 2004
CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -phenyl-, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H25 N O2
CI COM
SR CA

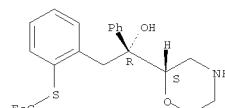
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 ANSWER 60 OF 60 REGISTRY COPYRIGHT 2008 ACS on STN
RN 664360-80-9 REGISTRY
ED Entered STN: 18 Mar 2004
CN 2-Morpholinemethanol, α -phenyl- α -[(2-[(trifluoromethyl)thio]phenyl)methyl]-, (R,S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H20 F3 N O2 S
CI COM
SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus			
COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
	ENTRY	SESSION	
FULL ESTIMATED COST	309.58	1136.55	
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	ENTRY	SESSION	
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L12 28 S L10 FULL
L13 STRUCTURE uploaded
L14 1 S L13
L15 28 S L13 FULL
L16 23 S L15 AND CAPLUS/LC
L17 5 S L15 NOT L16

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L18 4 S L16

FILE 'REGISTRY' ENTERED AT 12:21:40 ON 14 FEB 2008

L19 STRUCTURE uploaded
L20 8 S L19
L21 196 S L19 FULL
L22 0 S L21 AND CAPLUS
L23 136 S L21 AND CAPLUS/LC
L24 60 S L21 NOT L23

FILE 'CAPLUS' ENTERED AT 12:23:15 ON 14 FEB 2008

=> s 123
L25 14 L23

=> d ibib abs hitstr 1-14

their preparation, pharmaceutical compositions and use in the treatment of diseases associated with aspartic protease activity

INVENTOR(S): Baldwin, John J.; Claremon, David A.; Tice, Colin M.; Cacatian, Salvacion; Dillard, Lawrence W.; Ishchenko, Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan,

Gerard;

Zhao, Wei; Simpson, Robert D.; Singh, Suresh B.; Flaherty, Patrick T.; Kallander, Lara S.; Leach,

Colin

A.; Lawhorn, Brian; Lu, Qing; Terrell, Lamont R.; Ghavini-Alaghla, Bahman; Zhang, Jing; Ghirlanda, Damiano; Hou, Xiaoping; Semus, Simon

PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA; Smithkline Beecham Corporation

SOURCE: PCT Int. Appl., 619pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117482	A2	20071018	WO 2007-US8339	20070405
WO 2007117482	A3	20071122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, RM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-789703P P 20060405

US 2006-789823P P 20060405

OTHER SOURCE(S): MARPAT 147:469237

GI

their preparation, pharmaceutical compositions and use in the treatment of diseases associated with aspartic

protease activity

Baldwin, John J.; Claremon, David A.; Tice, Colin M.

Cacatian, Salvacion; Dillard, Lawrence W.; Ishchenko,

Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan,

Gerard;

Zhao, Wei; Simpson, Robert D.; Singh, Suresh B.

Flaherty, Patrick T.; Kallander, Lara S.; Leach,

Colin

A.; Lawhorn, Brian; Lu, Qing; Terrell, Lamont R.

Ghavini-Alaghla, Bahman; Zhang, Jing; Ghirlanda,

Damiano; Hou, Xiaoping; Semus, Simon

Vitae Pharmaceuticals, Inc., USA; Smithkline Beecham

Corporation

SOURCE: PCT Int. Appl., 619pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

AB Disclosed are compds. according to formula I: wherein the variables are defined herein. Compds. of formula I wherein R is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-7 cycloalkyl, C5-7 cycloalkenyl, etc.; R1 is (un)substituted Ph, (un)substituted (mono/bi)cyclic heteroaryl and (un)substituted C6-7 cycloalkyl; X and Y are independently CH2 and a single bond; R2 is H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C1-12 alkoxy, etc.; R3 is H, halo, C1-6 alkyl, C1-6 alkoxy, OH, etc.; A is (un)substituted (un)saturated (un)bridge 4- to 7-membered ring; Q and Y are attached to carbon or nitrogen in ring A via 1,2- or 1,3- or 1,4-relationship; Q is CO, CS, SO2, C=CH-NO2, C≡N-CN, dioxocyclobutylene, etc.; W is a bond and (un)substituted C1-5 alkyne;

E is (un)substituted (un)saturated (un)bridge 3- to 7-membered ring; G is H,

C1-6 alkyl, C4-7 heterocycl, OH, NH2 and derive., etc.; and their enantiomers, diastereoisomers, and pharmaceutically acceptable salts

thereof, are claimed. Such compds. are can bind aspartic proteases to inhibit their activity. They are useful in the treatment or amelioration

of diseases associated with aspartic protease activity. Also described

herein are methods of antagonizing aspartic protease inhibitors in a

subject in need thereof comprising administering to the subject a therapeutically effective amount of a compound according to formula I.

Example compound II was prepared by a multistep procedure (procedure given).

All the invention compds. were evaluated for their renin inhibitory

activity (some data given).

IT 952593-50-9 952708-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of acylpiperidine compds. as aspartic

protease

inhibitors including renin inhibitors useful in treatment of diseases

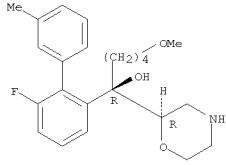
- associated with aspartic protease activity)

RN 952593-50-9 CAPLUS

CN 2-Morpholinemethanol, α -(6-fluoro-3'-methyl[1,1'-biphenyl]-2-yl)-

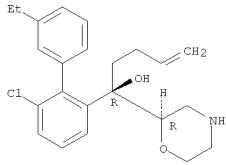
α -(4-methoxybutyl)-, (α R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 952708-89-3 CAPLUS
CN 2-Morpholinemethanol, α -3-buten-1-yl- α -(6-chloro-3'-ethyl[1,1'-biphenyl]-2-yl)-, (α R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



INVENTOR(S): Baldwin, John J.; Claremon, David A.; Tice, Colin M.; Cacatian, Salvacion; Dillard, Lawrence W.; Ishchenko, Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan, Gerard;

Zhao, Wei; Simpson, Robert D.; Singh, Suresh B.; Vitae Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 328pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117559	A2	20071018	WO 2007-US8520	20070405
WO 2007117559	A3	20071129		

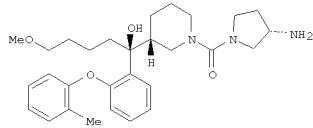
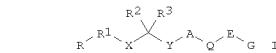
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-789703P P 20060405

US 2006-789823P P 20060405

OTHER SOURCE(S): MARPAT 147:469236

GI



II

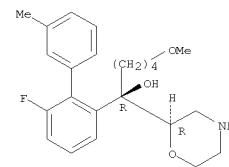
AB Described are compds. of formula I, which are orally active and bind to aspartic proteases to inhibit their activity. They are useful in the treatment or amelioration of diseases associated with aspartic protease activity. Also described are methods of use of the compds. described herein in ameliorating or treating aspartic protease related disorders in a subject in need thereof. Compds. of formula I wherein R is C1-6 alkyl, C2-8 alkenyl, C2-8 alkyne, C3-7 cycloalkyl, C2-7 cycloalkenyl, etc.; R1 is Ph, halo, (bi)cyclic heteroaryl, benzo-1,3-dioxole, benzo-1,3-dioxin, etc.; X and Y are independently CH2 and a single bond; R2 is H, Cl-12 alkyl, Cl-12 alkenyl, Cl-12 alkyne, Cl-12 alkoxy, Cl-12 alkylthio, etc.; R3 is H, halo, Cl-6 alkyl, Cl-6 alkoxy, OH, etc.; A is (un)substituted (un)saturated (un)bridge 4- to 7-membered ring; Q and U are attached to carbon or nitrogen atoms in ring A in a 1,2 or 1,3 or 1,4 relationship; Q is CO, CS, SO2, C=NO2, C≡CN, dioxocyclobutylene, etc.; E is (un)substituted (un)saturated (un)bridge 3- to 7-membered ring; G is OH, Cl-6 hydroxyalkyl, amino, Cl-6 aminoalkyl, C(=NH)NH2 and derivs., etc.; and their enantiomers, diastereoisomers and salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given).

All the invention compds. were evaluated for their renin inhibitory activity.

IT 952593-50-9P 952708-89-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyrrolidinyl piperidinyl methanone compds. as aspartic protease inhibitors including renin inhibitors useful in treatment of diseases - associated with aspartic protease activity)

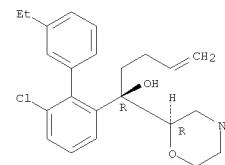
RN 952593-50-9 CAPLUS
CN 2-Morpholinemethanol, α -(6-fluoro-3'-methyl[1,1'-biphenyl]-2-yl)- α -(4-methoxybutyl)-, (α R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 952708-89-3 CAPLUS
CN 2-Morpholinemethanol, α -3-buten-1-yl- α -(6-chloro-3'-ethyl[1,1'-biphenyl]-2-yl)-, (α R,2R)- (CA INDEX NAME)

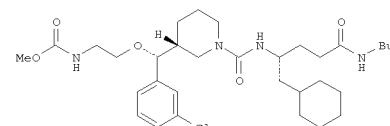
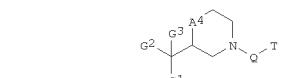
Absolute stereochemistry.



L25 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 20071175900 CAPLUS
 DOCUMENT NUMBER: 147:469351
TITLE: Piperidines and morpholines as renin inhibitors and their preparation, pharmaceutical compositions and use
 in the treatment of diseases associated with renin activity
INVENTOR(S): Baldwin, John J.; Claremon, David A.; Tice, Colin M.; Cacatian, Salvacion; Dillard, Lawrence W.; Ishchenko, Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan, Gerard;
 Zhao, Wei; Simpson, Robert D.; Singh, Suresh B.
PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 22pp.
CODEN: PIXHD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117560	A2	20071018	WO 2007-US8521	20070405
WO 2007117560	A3	20071206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HH, HR, HO, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MY, MZ, NA, NG, NI, NO, NQ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
FW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO:		US 2006-789723P		P 20060405

OTHER SOURCE(S): MARPAT 147:469351
 GI



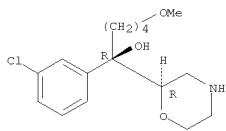
AB Described are compds. of formula I which are orally active and bind to renin to inhibit its activity. Compds. of formula I wherein G1 is Cl-9 (halo)alkyl, G3-7 (halo)cycloalkyl, G4-9 (halo)cycloalkylalkyl, Ph, etc.; G2 is H, Cl-8 (fluoro)alkyl, Cl-8 (fluoro)cycloalkylalkyl, Cl-8 (fluoro)alkoxy, etc.; G3 is H, halo, OH, Cl-4 alkanolamino, and Cl-3 alkoxy; G4 is CH2 and O; Q is CO, CS, SO2, C=NO2, C≡CN, COCO, etc.; T is a mimic of the Leu-Val cleavage site of angiotensinogen; and their enantiomers, diastereoisomers, and salts thereof, are claimed. They are useful in the treatment or amelioration of diseases associated with renin activity. Also described are methods of use of these compds. for treating or ameliorating a renin mediated disorder in a subject. Example compound II was prepared by a multistep procedure (procedure given). All the invention

compds. were evaluated for their renin inhibitory activity.

IT 884528-24-9P 952593-47-4P 952593-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of piperidine and morpholine compds. as renin inhibitors useful in treatment of diseases - associated with aspartic protease and renin activity)

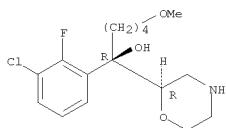
RN 884528-24-9 CAPLUS
CN 2-Morpholinemethanol, α -(3-chlorophenyl)- α -(4-methoxybutyl)-, (α R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



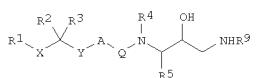
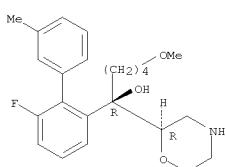
RN 952593-47-4 CAPLUS
CN 2-Morpholinemethanol, α -(3-chloro-2-fluorophenyl)- α -(4-methoxybutyl)-, ($\alpha R, 2R$) - (CA INDEX NAME)

Absolute stereochemistry.

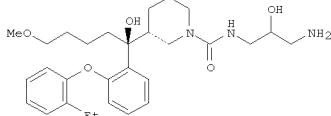


RN 952593-50-9 CAPLUS
CN 2-Morpholinemethanol, α -(6-fluoro-3'-methyl[1,1'-biphenyl]-2-yl)- α -(4-methoxybutyl)-, ($\alpha R, 2R$) - (CA INDEX NAME)

Absolute stereochemistry.



I



II

AB Described are diaminopropanols of formula I, which are orally active and bind to renin to inhibit its activity. Compds. of formula I wherein R1 is Cl-12 (halo)alkyl, C3-7 (halo)cycloalkyl, C4-12 (halo)cycloalkylalkyl, (un)substituted heterocycl, Ph, naphthyl, heteroaryl, etc.; X and Y are independently CH2, and a single bond; R2 is (un)substituted Cl-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, Cl-12 alkoxy, Cl-12 alkythio, etc.; R3 is H, halo, Cl-6 alkyl, Cl-6 alkoxy, OH, Cl-6 hydroxalkyl, Cl-6 hydroxalkoxy, etc.; A is (un)substituted (un)saturated 4- to 7-membered ring; Y and Q are attached to carbon or nitrogen atoms in ring A in a 1,2 or 1,3 or 1,4 relationship; Q is CO, CS, SO2, C=N-CO2, C=N-CN, COCO, etc.; R4 is H, Cl-6 (halo)alkyl, Cl-3 alkoxy-C1-3 alkyl, and Cl-6 cyanoalkyl; R5 is H,

C1-12 alkyl, C3-8 cycloalkyl, C3-8 cycloalkyl-C1-3 alkyl, C2-12 alkenyl, etc.; R9 is H, Cl-12 (halo)alkyl, C4-12 (halo)cycloalkylalkyl, C2-12 alkenyl, etc.; and their enantiomers, diastereoisomers and pharmaceutically acceptable salts thereof, are claimed. Compds. of formula I are useful in the treatment or amelioration of diseases associated

with elevated levels of renin activity or in the treatment of aspartic protease mediated disorders. Also described is a method for the use of the diaminopropanols in ameliorating or treating renin related disorders in a subject in need thereof. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated

for their renin inhibitory activity (some data given).

IT 952593-47-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of diaminopropanol derivs. as renin inhibitors

useful in treatment or amelioration of diseases associated with elevated levels of renin activity or aspartic protease mediated disorders)

RN 952593-47-4 CAPLUS

L25 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1175591 CAPLUS
DOCUMENT NUMBER: 147:469234
TITLE: Diaminopropanol derivatives as renin inhibitors and their preparation, pharmaceutical compositions and

use
in the treatment of diseases
INVENTOR(S): Baldwin, John J.; Claremon, David A.; Tice, Colin M.; Cacatian, Salvacion; Dillard, Lawrence W.; Ishchenko, Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan, Gerard;

Zhao, Wei; Simpson, Robert D.; Singh, Suresh B.; Flaherty, Patrick T.

PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 164pp.

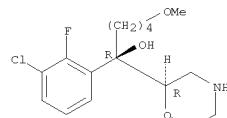
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117557	A2	20071018	WO 2007-US8518	20070405
WO 2007117557	A3	20071129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MW, MX, MY, MW, NA, NG, NI, NZ, OM, PG, PH, PL, PT, RC, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, V, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IB, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BP, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GN, KE, LS, MW, ME, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.:			US 2006-789713P	P 20060405
			US 2006-789789P	P 20060405

OTHER SOURCE(S): MARPAT 147:469234
GI

L25 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Morpholinemethanol, α -(3-chloro-2-fluorophenyl)- α -(4-methoxybutyl)-, ($\alpha R, 2R$) - (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2006365001 CAPLUS

DOCUMENT NUMBER: 144:432692

TITLE: Preparation of diaminoalkanes, particularly N-(1-aminopropan-2-yl)piperidine-1-carboxamides, as aspartic protease inhibitors

INVENTOR(S): Baldwin, John J.; Claremon, David A.; Tice, Colin; Cacatian, Salvation; Dillard, Lawrence W.; Ishchenko, Alexey V.; Yuan, Jing; Xu, Zhenrong; McGeehan,

Gerard;

Zhai, Wei; Simpson, Robert D.; Singh, Suresh B.; Flaherty, Patrick T.; Wery, Jean-Pierre

PATENT ASSIGNEE(S): Vitae Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 755 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006042150	A1	20060420	WO 2005-US36230	20051007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MU, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LZ, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BA, HR, MK, RU, TJ, TM				
AU 2005294123	A1	20060420	AU 2005-294123	20051007
CA 25582202	A1	20060420	CA 2005-25582202	20051007
EP 1807078	A1	20070718	EP 2005-807547	20051007
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LZ, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101072561	A	20071114	CN 2005-80042064	20051007
BR 2005016132	A	20071204	BR 2005-16132	20051007
KR 2005084040	A	20070824	KR 2007-710366	20070507
IN 2007CN01935	A	20070831	IN 2007-CN1935	20070507
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			WO 2005-US36230	W 20051007

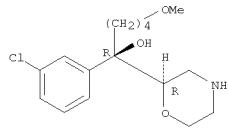
OTHER SOURCE(S): MARPAT 144:432692
GI

(Continued)

CRN 884512-45-2

CNF C16 H24 Cl N O3

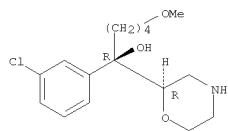
Relative stereochemistry.



CM 2

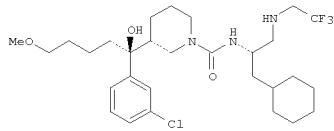
CRN 76-05-1
CNF C2 H F3 O2RN 884528-24-9 CAPLUS
CN 2-Morpholinemethanol, α -(3-chlorophenyl)- α -(4-methoxybutyl)-, (α R,2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 884528-52-3 CAPLUS
CN 2-Morpholinemethanol, α -(3-chloro-2-fluorophenyl)- α -(4-methoxybutyl)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

(Continued)



AB The invention is related to diaminoalkanes of formula R1-X-(CR2R3)-Y-A-Q-N(R4)-L-G [I; R1 = halocyclo/cyclo/alkyl, (un)substituted Ph, naphthyl, heteroaryl, etc.; X, Y = independently CH2 or a single bond; R2 = (un)substituted alk(en)ynyl, alkoxyalkyl, aminocarbonylamoalkyl, aminosulfonylamoalkyl, etc.; R3 = H, alkyl, OH and derivs., alkylaminosulfonylamo, (un)substituted phenylamino, heteroarylamo; A =

= (un)saturated (un)substituted 4- to 7-membered ring, which is optionally bridged by (CH2)m via bonds to 2 members of said ring; Q and Y are attached to C or N atoms in ring A in a 1,2 or 1,3 or 1,4 relationship; Q = diivalent radical selected from CO, C:S, SO2, CO-CO, CC-CH2-CO, etc.; m =

1-3; R4 = H, halo/alkoxy/cyano/alkyl; L = (un)substituted linear (C2-C4)alkyl chain when G = OH, OR9, NH2, NR9R10, NHC(NH)NH2, or NHC(NH)NHR9; or L = (un)substituted linear (C1-C3)alkyl chain when G = C(NH)NH2, or C(NH)NHR9; G = OH, OR9, NH2, NHR9, NR9R10, NHC(NH)NH2, C(NH)NH2, or C(NH)NHR9; R9 = halo/alkyl, (un)substituted Ph, naphthyl, heteroaryl, heteroarylsulfinyl, naphthoxy, etc.; R10 = halo/alkyl; with provisos], and their enantiomers, diastereomers, and salts, e.g. II, which are orally active and bind to aspartic proteases to inhibit their activity. I are useful in the treatment or amelioration of diseases associated with elevated levels of aspartic protease activity. Thus, reacting benzyl N-((S)-2-amino-3-cyclohexylpropyl)-N-(2,2,2-trifluoroethyl)carbamate (preparation given) with

(1S)-1-(3-chlorophenyl)-5-methoxy-1-((3R)-piperidin-3-yl)pentan-1-ol and CDI in the presence of

DIEA in CH2Cl2, followed by Cbz-deprotection gave piperidine II. Selected I had an IC50 in the range of 0.001 nM to 5 nM for the inhibition of renin activity. I are useful in ameliorating or treating aspartic protease related disorders, such as hypertension, congestive heart failure,

cardiac hypertrophy, cardiac fibrosis, etc. cardiomyopathy postinfarction, nephropathy, vasculopathy and neuropathy, diseases of the coronary vessels.

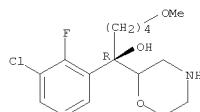
IT 884512-46-3P 884528-24-9P 884528-52-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reagent or reagent)
(intermediate) preparation of diaminoalkane aspartic protease inhibitors)

RN 884512-46-3 CAPLUS

CN 2-Morpholinemethanol, α -(3-chlorophenyl)- α -(4-methoxybutyl)-, (α R,2R)-rel-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

(Continued)



REFERENCE COUNT: THIS THERE ARE 10 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:588645 CAPLUS

DOCUMENT NUMBER: 143:115550

TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition

INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 337 pp.

CODEN: PIXXD2

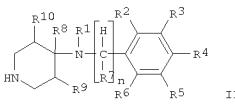
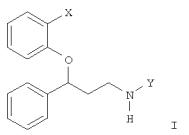
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
W: AE, BG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, US, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, ME, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AM, AZ, BY, KG, KZ, MD, NU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GR, HQ, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2548304	A1	20050707	CA 2004-2548304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MT, NL, PL, PT, RO, SE, SI, SK, TR				
CN 183994	A	20070103	CN 2004-80036841	20041201
JP 2007513945	T	20070531	JP 2006-543830	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:			US 2003-529428P	P 20031212
		WO 2004-US38221		W 20041201

OTHER SOURCE(S): MARPAT 143:115550
GI

L25 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

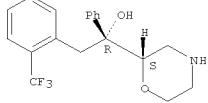
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 857642-70-7P 857642-71-8P 857642-72-9P
 857642-73-0P 857642-74-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep. of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 664360-82-1 CAPLUS

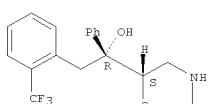
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-41-5 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



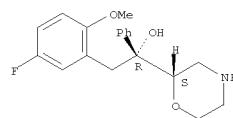
RN 852236-27-2 CAPLUS
 CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -methyl-, hydrochloride (9CI) (CA INDEX NAME)

AB The invention relates to a method of preventing or treating hot flashes, vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient thereof a therapeutically effective amount of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.], R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy, etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prepared. E.g., a 2-step synthesis of N-(2-methylpropyl)-N-[(2-fluorophenyl)methyl]piperidin-4-amine fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidine-1-carboxylate and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μ M, more preferably less than 500 nM at the norepinephrine transporter, as determined using the scintillation proximity assay.

IT 857642-46-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

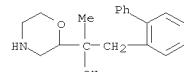
RN 857642-46-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



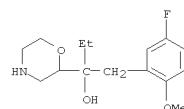
● HCl

IT 664360-82-1P 664361-41-5P 852236-27-2P
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 852236-39-6P 852236-40-9P 852236-42-1P
 852236-43-2P 852236-44-3P 852236-45-4P
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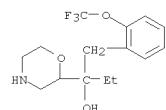
● HCl

RN 852236-29-4 CAPLUS
 CN 2-Morpholinemethanol, α -ethyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



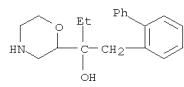
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RN 852236-31-8 CAPLUS
 CN 2-Morpholinemethanol, α -ethyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



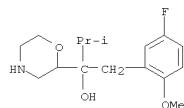
● HCl

RN 852236-33-0 CAPLUS
 CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -ethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

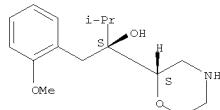
RN 852236-35-2 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

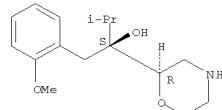
RN 852236-37-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

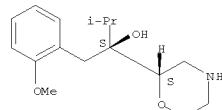


RN 852236-38-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



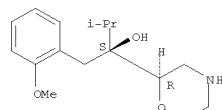
RN 852236-39-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2R)-rel- (9CI) (CA INDEX NAME)
Relative stereochemistry.



● HCl

RN 852236-40-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

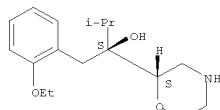
Relative stereochemistry.



● HCl

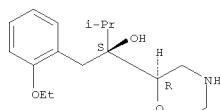
RN 852236-42-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



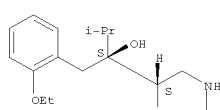
RN 852236-43-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852236-44-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2R)-rel- (9CI) (CA INDEX NAME)

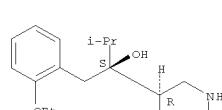
Relative stereochemistry.



● HCl

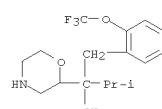
RN 852236-45-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



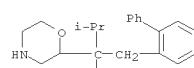
● HCl

RN 852236-47-6 CAPLUS
CN 2-Morpholinemethanol, α -[(1-methylethyl)- α -[(2-trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



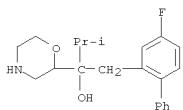
● HCl

RN 852236-49-8 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



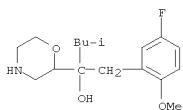
● HCl

RN 852236-51-2 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

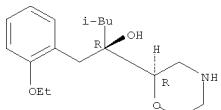
RN 852236-53-4 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

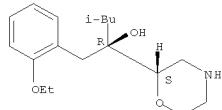
RN 852236-55-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (α R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

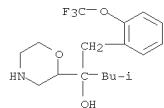


RN 852236-56-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

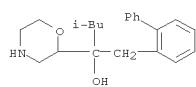


RN 852236-58-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylpropyl)- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

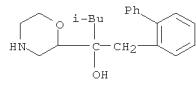


● HCl

RN 852236-60-3 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(2-methylpropyl)- (CA INDEX NAME)

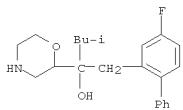


RN 852236-63-6 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



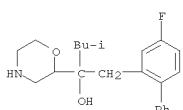
● HCl

RN 852236-65-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



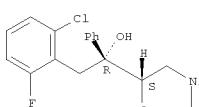
● HCl

RN 852236-66-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)



RN 854139-85-8 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

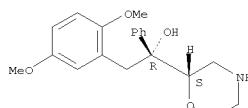
Relative stereochemistry.



● HCl

RN 854139-86-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

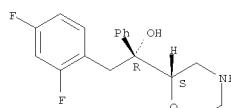
Relative stereochemistry.



● HCl

RN 854139-87-0 CAPLUS
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

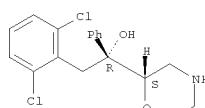
Relative stereochemistry.



● HCl

RN 854139-88-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

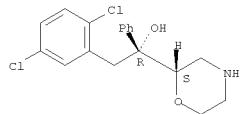
Relative stereochemistry.



● HCl

RN 854139-89-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

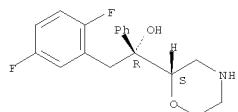
Relative stereochemistry.



● HCl

RN 854139-90-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

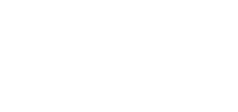
Relative stereochemistry.



● HCl

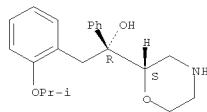
RN 854139-91-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

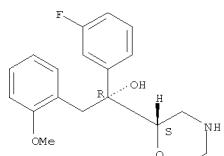
Relative stereochemistry.



● HCl

RN 857642-42-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 857642-43-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

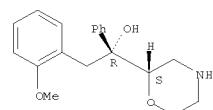
Relative stereochemistry.



● HCl

RN 857642-38-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

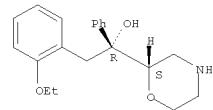
Relative stereochemistry.



● HCl

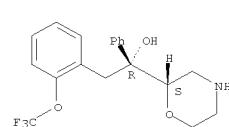
RN 857642-40-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

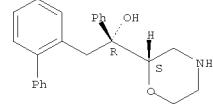
RN 857642-41-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)



● HCl

RN 857642-44-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

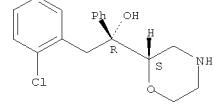
Relative stereochemistry.



● HCl

RN 857642-45-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



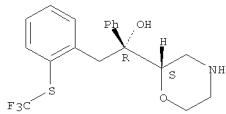
● HCl

RN 857642-48-9 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-[(trifluoromethyl)thio]phenyl)methyl]-, (α R,2S)-rel-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 857642-47-8
CNF C19 H20 F3 N O2 S

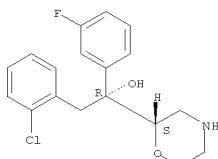
Relative stereochemistry.



CM 2

CRN 64-19-7
CNF C2 H4 O2RN 857642-51-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

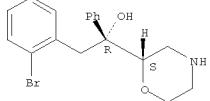


● HCl

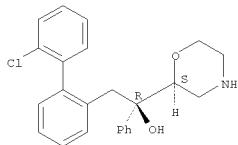
RN 857642-52-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

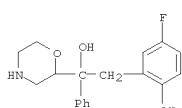
Relative stereochemistry.

RN 857642-59-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

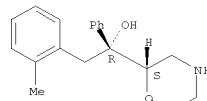
Relative stereochemistry.



● HCl

RN 857642-60-5 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride (9CI) (CA INDEX NAME)

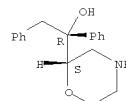
● HCl

RN 857642-61-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl- (CA INDEX NAME)

● HCl

RN 857642-54-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2-phenylmethyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

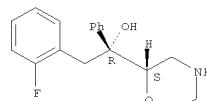
Relative stereochemistry.



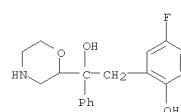
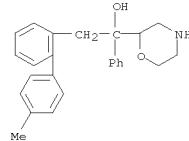
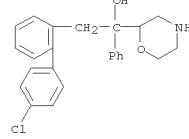
● HCl

RN 857642-56-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

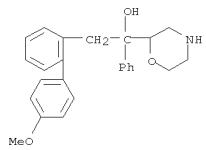


● HCl

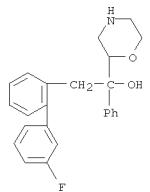
RN 857642-57-0 CAPLUS
CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)RN 857642-62-7 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)RN 857642-63-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)RN 857642-64-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl- (CA INDEX NAME)

● HCl

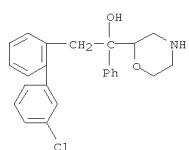
RN 857642-61-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl- (CA INDEX NAME)



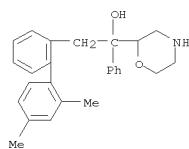
RN 857642-65-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



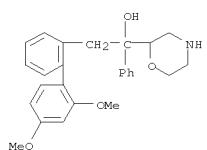
RN 857642-66-1 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chlorophenyl)methyl] α -phenyl- (CA INDEX NAME)



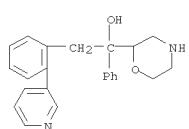
RN 857642-67-2 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



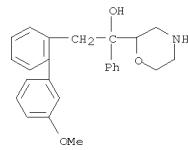
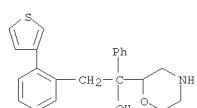
RN 857642-71-8 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



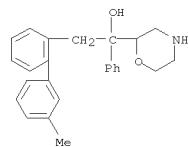
RN 857642-72-9 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]- (CA INDEX NAME)



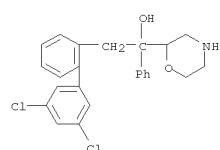
RN 857642-73-0 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]- (CA INDEX NAME)



RN 857642-68-3 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)

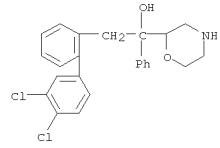


RN 857642-69-4 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



RN 857642-70-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)

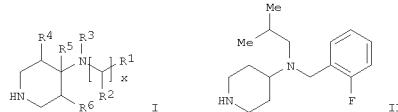
RN 857642-74-1 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl] α -phenyl- (CA INDEX NAME)



L25 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005;523264 CAPLUS
 DOCUMENT NUMBER: 143;59831
 TITLE: A preparation of aminopiperidine derivatives, useful
 for the treatment of cognitive failure
 INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter;
 McKinzie, David Lee; Tucker, Tina Marie; Keaffaber,
 Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula
 Terese; Allen, Albert John; Kelsey, Douglas Kenneth;
 Michelson, David; Gehlert, Donald Richard; Yang,
 Charles Renkin
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 300 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

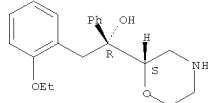
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YO, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, ME, NA, SD, SL, SZ, TZ, UG, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HO, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2003-524450P	P	20031124
		US 2003-524781P	P	20031125

OTHER SOURCE(S): MARPAT 143;59831
 GI



AB The invention relates to a preparation of aminopiperidine derivs. of formula I
 [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl,

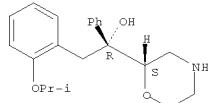
L25 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

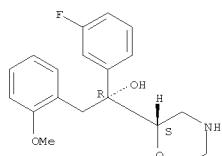
Absolute stereochemistry.



● HCl

RN 664360-75-2 CAPLUS
 CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

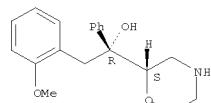
L25 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine deriv. II was prep'd. via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, redn. of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-78-5P 664360-79-6P 664360-81-0P
 664360-82-1P 664360-83-2P 664360-84-3P
 664360-85-4P 664360-86-5P 664360-87-6P
 664360-88-7P 664360-89-8P 664360-95-8P
 664360-96-9P 664360-97-0P 664360-98-1P
 664360-99-2P 664360-99-3P 664360-99-4P
 664360-99-5P 664360-99-6P 664360-99-7P
 664360-99-8P 664360-99-9P 664360-00-4P
 664360-01-5P 664360-02-6P 664360-03-7P
 664360-04-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);
 (preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 664360-72-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

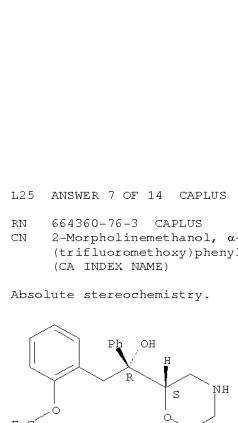
Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

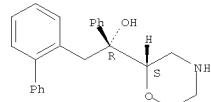
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

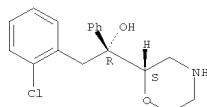
Absolute stereochemistry.



● HCl

RN 664360-78-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

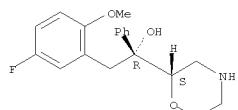
Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

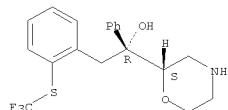
Absolute stereochemistry.



● HCl

RN 664360-81-0 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-[(trifluoromethyl)thio]phenyl)methyl]-, (α R,2S)-, acetate (salt)
 (9CI) (CA INDEX NAME)
 CM 1
 CRN 664360-80-9
 CMF C19 H20 F3 N O2 S

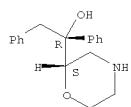
Absolute stereochemistry.



● HCl

RN 664360-85-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

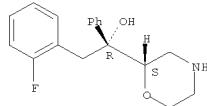
Absolute stereochemistry.



● HCl

RN 664360-86-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

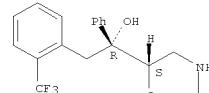
RN 664360-87-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

CM 2
 CRN 64-19-7
 CMF C2 H4 O2



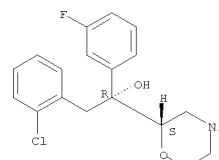
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -[(2-trifluoromethyl)phenyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-83-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

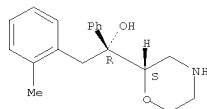
Absolute stereochemistry.



● HCl

RN 664360-84-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

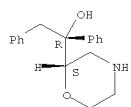
Absolute stereochemistry.



● HCl

RN 664360-85-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

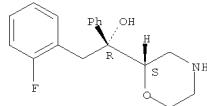
Absolute stereochemistry.



● HCl

RN 664360-86-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

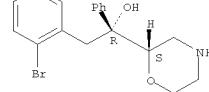
Absolute stereochemistry.



● HCl

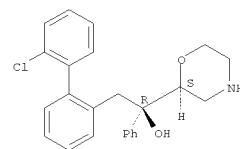
RN 664360-87-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-88-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

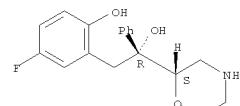
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

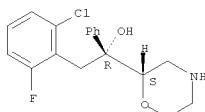
Absolute stereochemistry.



● HCl

RN 854139-85-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

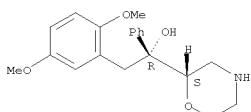
Relative stereochemistry.



● HCl

RN 854139-86-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

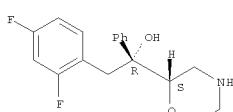
Relative stereochemistry.



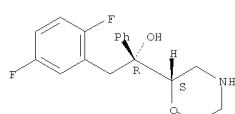
● HCl

RN 854139-87-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



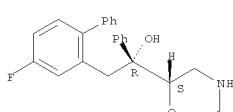
● HCl



● HCl

RN 854139-91-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

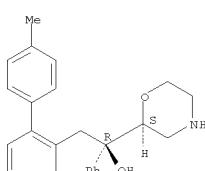
Relative stereochemistry.



● HCl

RN 854139-92-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

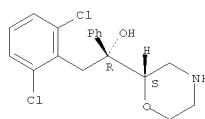
Relative stereochemistry.



RN 854139-93-8 CAPLUS

RN 854139-88-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

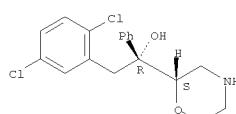
Relative stereochemistry.



● HCl

RN 854139-89-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



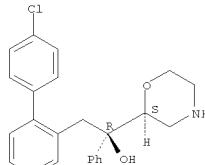
● HCl

RN 854139-90-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

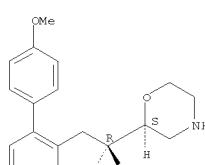
CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



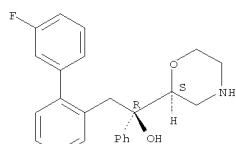
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 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



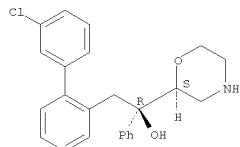
RN 854139-95-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



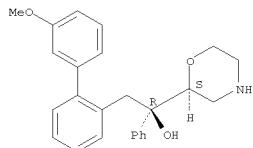
RN 854139-96-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



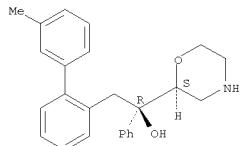
RN 854139-97-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



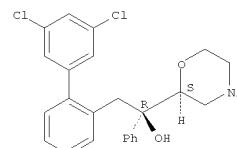
RN 854139-98-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



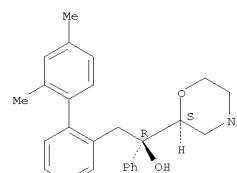
RN 854139-99-4 CAPLUS

Relative stereochemistry.



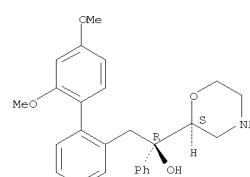
RN 854140-00-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



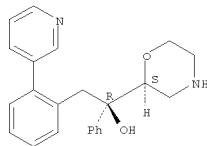
RN 854140-01-5 CAPLUS
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Relative stereochemistry.



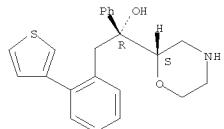
RN 854140-02-6 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



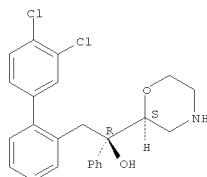
RN 854140-03-7 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



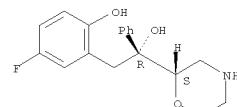
RN 854140-04-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 664361-42-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)-rel- (CA INDEX NAME)

Absolute stereochemistry.



TITLE: Preparation of morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Campbell, Gordon Iain; Casca-Thomas, Manuel Javier; Man, Teresa; Masters, John Joseph; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 133 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

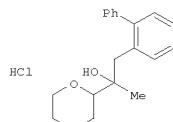
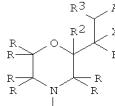
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047272	A1	20050526	WO 2004-US32771	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004289616	A1	20050526	AU 2004-289616	20041028
CA 2544649	A1	20050526	CA 2004-2544649	20041028
EP 1682523	A1	20060726	EP 2004-794209	20041028
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HR

CN 1878762	A	20061213	CN 2004-80033115	20041028
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JP 2007510720	T	20070426	JP 2006-539492	20041028
US 2007083046	AI	20070412	US 2006-577841	20060429
MX 2006PA05226	A	20060720	MX 2006-PA5226	20060509
KR 783855	BI	20071210	KR 2006-708999	20060509
NO 2006002700	A	20060808	NO 2006-2700	20060612

PRIORITY APFLN. INFO.:

	GB 2003-26148	A	20031110	
	US 2004-535459P	P	20040109	
	WO 2004-US32771	W	20041028	

OTHER SOURCE(S): CASREACT 142:482071; MARPAT 142:482071
GI

II

AB Title compds. I [X = OH, alkoxy, NH₂, etc.; R independently = H, alkyl with provisions; R1 = (un)substituted-alkyl, -alkoxy, CN, etc.; R2 = H, alkyl; R3 = H, alkyl; Ar = (un)substituted-Ph, -5- to 6-membered heteroaryl] and their pharmaceutically acceptable salts, are prepared

and disclosed as norepinephrine reuptake inhibitors. Thus, e.g., II was prepared by conversion of 4-benzyl-morpholine-2-carbonitrile into the resp. carboxylic Et ester followed by amidation with N,N-dimethylhydroxylamine and subsequent Grignard reactions with Mn magnesium bromide and 2-phenylbenzyl magnesium bromide followed by debenzylation and conversion into the HCl salt. The activity of I was evaluated in a CYP2D6 inhibition assay and preferred compds. of the invention exhibited an IC₅₀ higher than

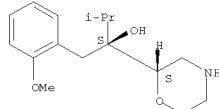
6 μM. I as norepinephrine reuptake inhibitors should prove useful in the treatment of disorders that are associated with norepinephrine dysfunction. Pharmaceutical compns. comprising I are disclosed.

IT 852236-37-4P 852236-38-5P 852236-42-1P
852236-43-2P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

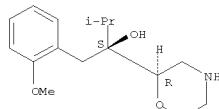
RN 852236-37-4 CAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-(1-methylethyl)-, (αR,2R)-rel- (CA INDEX NAME)



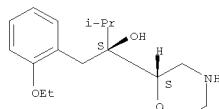
RN 852236-38-5 CAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-(1-methylethyl)-, (αR,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



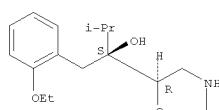
RN 852236-42-1 CAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-(1-methylethyl)-, (αR,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 852236-43-2 CAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-(1-methylethyl)-, (αR,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

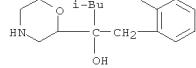


IT 852236-60-3P 852237-02-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

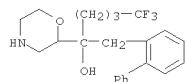
RN 852236-60-3 CAPLUS

CN 2-Morpholinemethanol, α-[(1,1'-biphenyl)-2-ylmethyl]-α-(2-methylpropyl)- (CA INDEX NAME)



RN 852237-02-6 CAPLUS

CN 2-Morpholinemethanol, α-[(1,1'-biphenyl)-2-ylmethyl]-α-(4,4-trifluorobutyl)- (CA INDEX NAME)



IT 852236-27-2P 852236-29-4P 852236-31-8P

852236-33-0P 852236-35-2P 852236-39-6P

852236-40-9P 852236-44-3P 852236-45-4P

852236-47-6P 852236-49-8P 852236-51-2P

852236-53-4P 852236-55-6P 852236-56-7P

852236-58-9P 852236-63-6P 852236-65-8P

852236-93-2P 852236-95-4P 852236-97-6P

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852237-21-9P 852237-23-1P 852237-27-5P

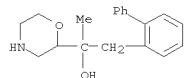
852237-29-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

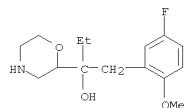
RN 852236-27-2 CAPLUS

CN 2-Morpholinemethanol, α-[(1,1'-biphenyl)-2-ylmethyl]-α-methyl-, hydrochloride (9CI) (CA INDEX NAME)



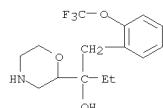
● HCl

RN 852236-29-4 CAPLUS
CN 2-Morpholinemethanol, α -ethyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



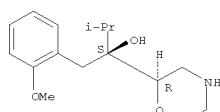
● HCl

RN 852236-31-8 CAPLUS
CN 2-Morpholinemethanol, α -ethyl- α -[(2-trifluoromethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

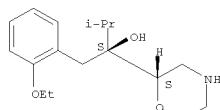
RN 852236-33-0 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -ethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-44-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2R)-rel- (9CI) (CA INDEX NAME)

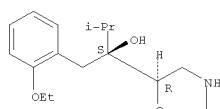
Relative stereochemistry.



● HCl

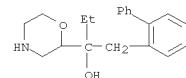
RN 852236-45-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



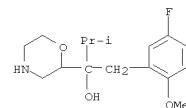
● HCl

RN 852236-47-6 CAPLUS
CN 2-Morpholinemethanol, α -(1-methylethyl)- α -[(2-



● HCl

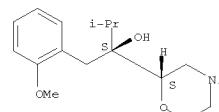
RN 852236-35-2 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-39-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2R)-rel- (9CI) (CA INDEX NAME)

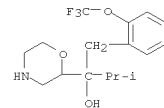
Relative stereochemistry.



● HCl

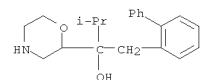
RN 852236-40-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -(1-methylethyl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



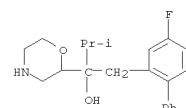
● HCl

RN 852236-49-8 CAPLUS
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



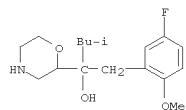
● HCl

RN 852236-51-2 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

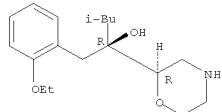
RN 852236-53-4 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

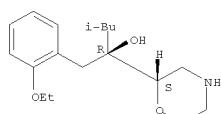
RN 852236-55-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (α R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

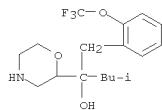


RN 852236-56-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -(2-methylpropyl)-, (α R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

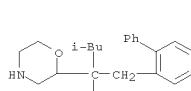


RN 852236-58-9 CAPLUS
 CN 2-Morpholinemethanol, α -(2-methylpropyl)- α -[(2-trifluoromethoxy)phenyl]methyl-, hydrochloride (9CI) (CA INDEX NAME)



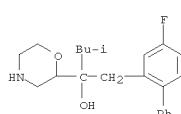
● HCl

RN 852236-63-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



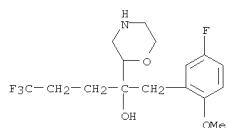
● HCl

RN 852236-65-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



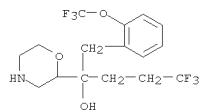
● HCl

RN 852236-93-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)



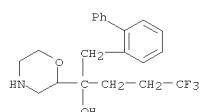
● HCl

RN 852236-95-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(trifluoromethoxy)phenyl)methyl]- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)



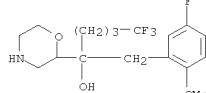
● HCl

RN 852236-97-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(3,3,3-trifluoropropyl)-, hydrochloride (9CI) (CA INDEX NAME)



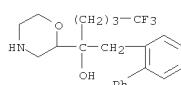
● HCl

RN 852236-99-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(4,4,4-trifluorobutyl)-, hydrochloride (9CI) (CA INDEX NAME)



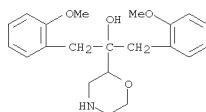
● HCl

RN 852237-01-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -(4,4,4-trifluorobutyl)-, hydrochloride (9CI) (CA INDEX NAME)



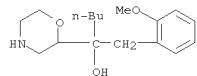
● HCl

RN 852237-14-0 CAPLUS
 CN 2-Morpholinemethanol, α,α -bis[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



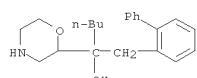
● HCl

RN 852237-21-9 CAPLUS
 CN 2-Morpholinemethanol, α -butyl- α -[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



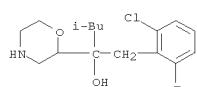
● HCl

RN 852237-23-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -butyl-, hydrochloride (9CI) (CA INDEX NAME)



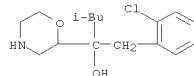
● HCl

RN 852237-27-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

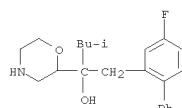
RN 852237-29-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 852236-66-9P
 RL RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-66-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(2-methylpropyl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

DOCUMENT NUMBER: 142:291416

TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors

INVENTOR(S): Kelsey, Douglas Kenneth

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 299 pp.

CODEN: PIXD2

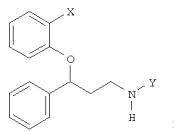
DOCUMENT TYPE: Patent

LANGUAGE: English

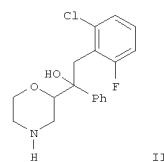
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RG, RZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532349	A1	20050310	CA 2004-2532349	20040825
EP 1660185	A2	20060531	EP 2004-780429	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007032554	A1	20070208	US 2006-568269	20060214
PRIORITY APPLN. INFO.: WO 2004-US25591			US 2003-498018P	P 20030827
				WO 20040825

OTHER SOURCE(S): MARPAT 142:291416
GI

I



II

AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylat. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

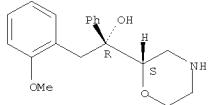
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 664360-82-1P 664360-83-2P 664360-84-3P
 664360-85-4P 664360-86-5P 664360-87-6P
 664360-88-7P 664360-89-8P 664360-90-1P
 664360-91-2P 664360-92-3P 664360-93-4P
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 664361-00-6P 664361-01-7P 664361-02-8P
 664361-03-9P 664361-04-0P 664361-05-1P
 664361-06-2P 664361-07-3P 664361-08-4P
 664361-09-5P 664361-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L25 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of heterocyclic compds. useful as norepinephrine reuptake
 inhibitors)

RN 664360-72-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

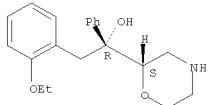
Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

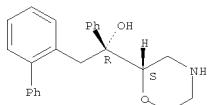
RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -((1,1'-biphenyl)-2-ylmethyl)- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

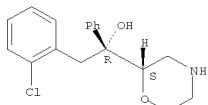
Absolute stereochemistry.



● HCl

RN 664360-78-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

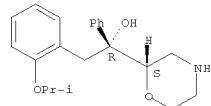
Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-,
 hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

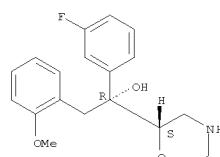
L25 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 664360-75-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

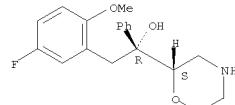


● HCl

RN 664360-76-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 Absolute stereochemistry.

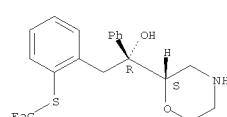


● HCl

RN 664360-81-0 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethylthio)phenyl)methyl]-, (α R,2S)-, acetate (salt)
 (9CI) (CA INDEX NAME)

CM 1
 CRN 664360-80-9
 CMF C19 H20 F3 N O2 S

Absolute stereochemistry.



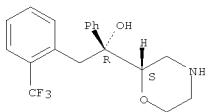
CM 2

CRN 64-19-7
 CMF C2 H4 O2



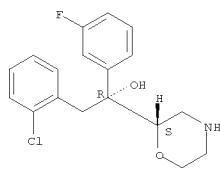
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethylthio)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



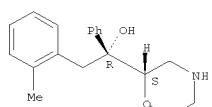
RN 664360-83-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

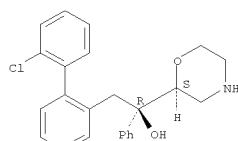


RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

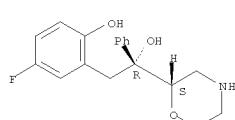


RN 664360-85-4 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)



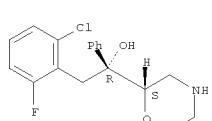
RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

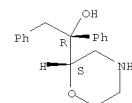


RN 664360-90-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



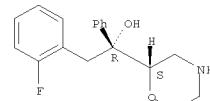
● HCl



● HCl

RN 664360-86-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

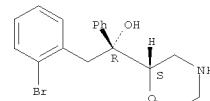
Absolute stereochemistry.



● HCl

RN 664360-87-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

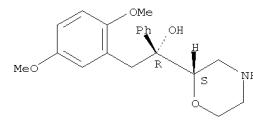


RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 664360-91-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

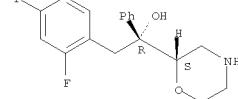
Absolute stereochemistry.



● HCl

RN 664360-92-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

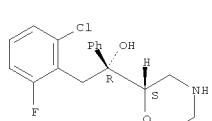
Absolute stereochemistry.



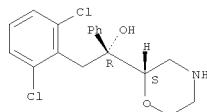
● HCl

RN 664360-93-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



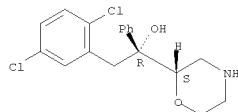
● HCl



● HCl

RN 664360-94-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

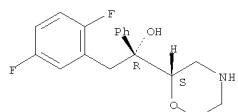
Absolute stereochemistry.



● HCl

RN 664360-95-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

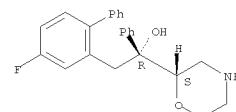
Absolute stereochemistry.



● HCl

RN 664360-96-7 CAPLUS

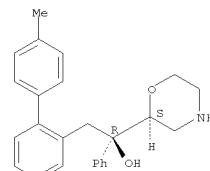
Absolute stereochemistry.



● HCl

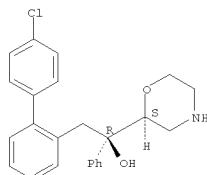
RN 664360-97-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



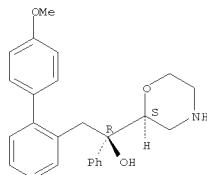
RN 664360-98-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



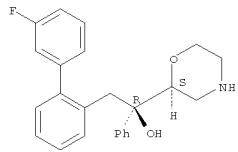
RN 664360-99-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.

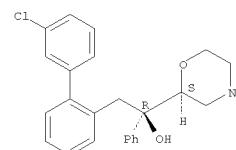


RN 664361-00-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.

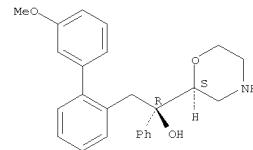


RN 664361-01-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-chlorophenyl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)



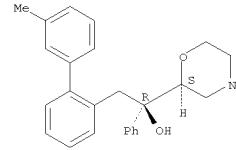
RN 664361-02-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



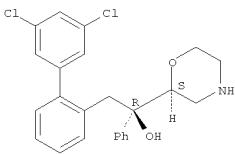
RN 664361-03-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



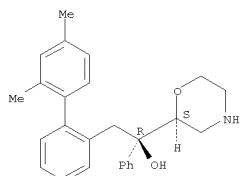
RN 664361-04-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(3',5'-dichlorophenyl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



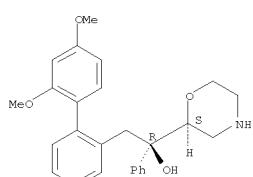
RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (αR,2S)- (CA INDEX NAME)

Absolute stereochemistry.

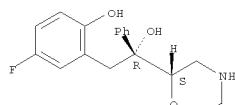


RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (αR,2S)- (CA INDEX NAME)

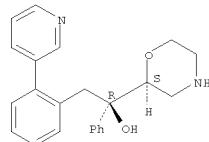
Absolute stereochemistry.



RN 664361-07-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]-, (αR,2S)- (CA INDEX NAME)

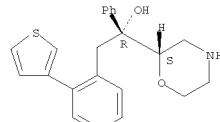


Absolute stereochemistry.



RN 664361-08-4 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]-, (αR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-42-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (αR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



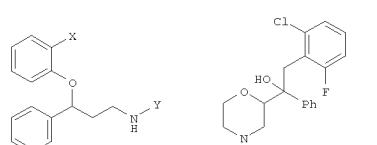
ACCESSION NUMBER: 2005:216660 CAPLUS
DOCUMENT NUMBER: 142:291415
TITLE: Treatment of pervasive development disorders employing

INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LE, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, US, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RO, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG				
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CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
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US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P 20030827
				WO 2004-US25593
				W 20040825

OTHER SOURCE(S): CASREACT 142:291415; MARPAT 142:291415
GI



AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment

L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 an effective amt. of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent No. 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the prepn. of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine deriv. II•HCl (R = H) was prepd. via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation of the obtained alc. I (R = Bn). The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

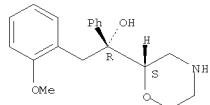
IT 664360-72-9 CAPLUS
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 664360-75-2P 664360-76-3P 664360-77-4P
 664360-78-5P 664360-79-6P 664360-81-0P
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 664360-88-7P 664360-89-8P 664360-90-1P
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 664361-09-5P 664361-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 CAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS

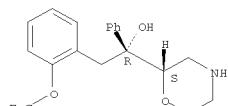
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 664360-76-3 CAPLUS

CN 2-Morpholinemethanol, α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

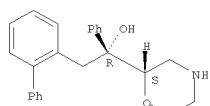


● HCl

RN 664360-77-4 CAPLUS

CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-78-5 CAPLUS

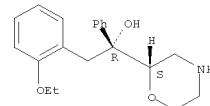
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

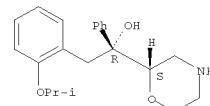


● HCl

RN 664360-74-1 CAPLUS

CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

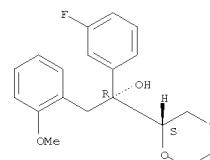


● HCl

RN 664360-75-2 CAPLUS

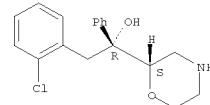
CN 2-Morpholinemethanol, α -[(3-fluorophenyl)methyl]- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

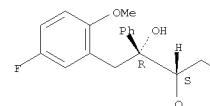


● HCl

RN 664360-79-6 CAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-81-0 CAPLUS

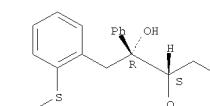
CN 2-Morpholinemethanol, α -phenyl- α -[(2-[(trifluoromethyl)thio]phenyl)methyl]-, (α R,2S)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664360-80-9

CMF C19 H20 F3 N O2 S

Absolute stereochemistry.

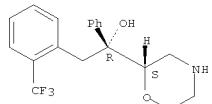


CRN 64-19-7
CNF C2 H4 O2



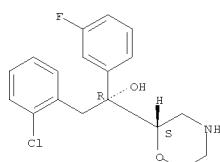
RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-83-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

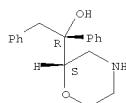
Absolute stereochemistry.



● HCl

RN 664360-85-4 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

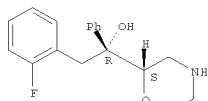
Absolute stereochemistry.



● HCl

RN 664360-86-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

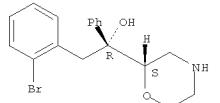
Absolute stereochemistry.



● HCl

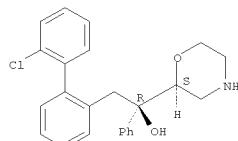
RN 664360-87-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.

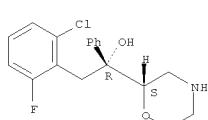


RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



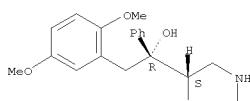
Absolute stereochemistry.



● HCl

RN 664360-91-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

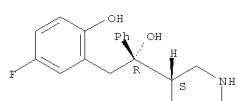
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

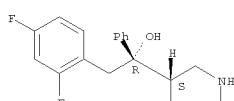


● HCl

RN 664360-90-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

RN 664360-92-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

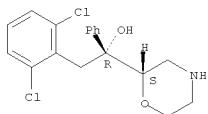
Absolute stereochemistry.



● HCl

RN 664360-93-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

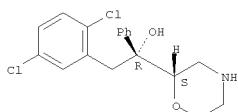
Absolute stereochemistry.



● HCl

RN 664360-94-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

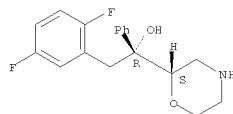
Absolute stereochemistry.



● HCl

RN 664360-95-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

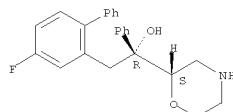
Absolute stereochemistry.



● HCl

RN 664360-96-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

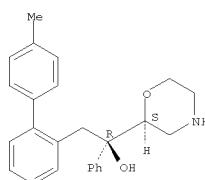
Absolute stereochemistry.



● HCl

RN 664360-97-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

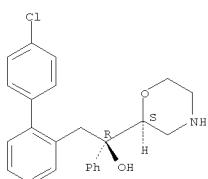
Absolute stereochemistry.



RN 664360-98-9 CAPLUS

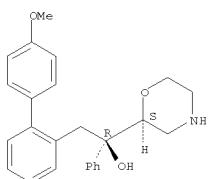
L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



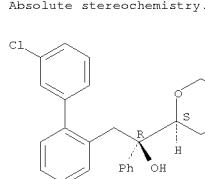
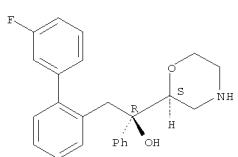
RN 664360-99-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



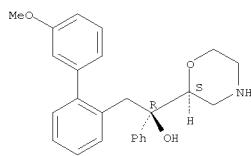
RN 664361-00-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



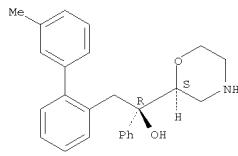
RN 664361-02-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-03-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, ($\alpha R, 2S$)- (CA INDEX NAME)

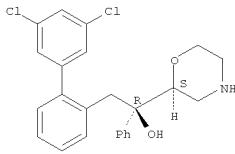
Absolute stereochemistry.



RN 664361-04-0 CAPLUS

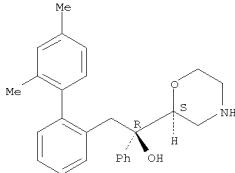
L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



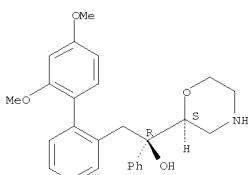
RN 664361-05-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



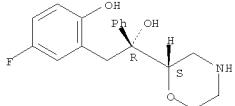
RN 664361-06-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

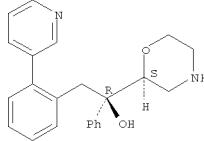
Absolute stereochemistry.



L25 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

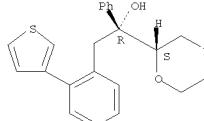
RN 664361-07-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



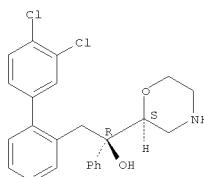
RN 664361-08-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-09-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (aR,2S)- (CA INDEX NAME)

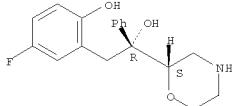
Absolute stereochemistry.



RN 664361-42-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -

L25 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

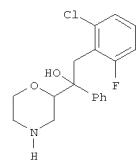
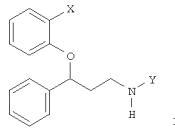


L25 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005-216659 CAPLUS

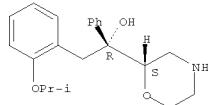
DOCUMENT NUMBER: 142-291414
 TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
 INVENTOR(S): Summer, Calvin Russell
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 304 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020975	A2	20050310	WO 2004-US25592	20040825
WO 2005020975	A3	20050602		
W: AE, AR, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RG, RZ, MD, RO, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DR, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG				
CA 2530014	A1	20050310	CA 2004-2530014	20040825
EP 1660064	A2	20060531	EP 2004-780430	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK US 2007105960				
US 2007105960	A1	20070510	US 2006-568244	20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P 20030827
				WO 2004-US25592
				W 20040825

OTHER SOURCE(S): MARPAT 142-291414
 GI



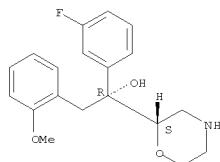
AB Provided are methods and medicaments for treating a learning disability or a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).
IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-79-6P 664360-81-0P
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664360-91-2P 664360-92-3P 664360-93-4P
664360-94-5P 664360-95-6P 664360-96-7P
664360-97-8P 664360-98-9P 664360-99-0P
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664361-06-2P 664361-07-3P 664361-08-4P
664361-09-5P 664361-42-6P



● HCl

RN 664360-75-2 CAPLUS
CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



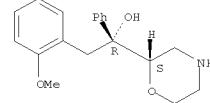
● HCl

RN 664360-76-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. useful as norepinephrine reuptake inhibitors)
RN 664360-72-9 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

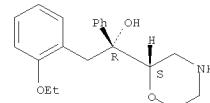
Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS
CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

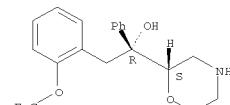
Absolute stereochemistry.



● HCl

RN 664360-74-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

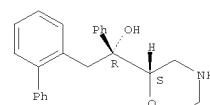
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

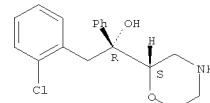
Absolute stereochemistry.



● HCl

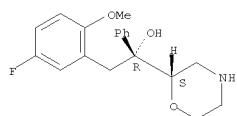
RN 664360-78-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-79-6 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)



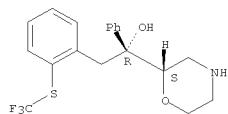
● HCl

RN 664360-81-0 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-
[trifluoromethyl]thio)phenyl]methyl-, (aR,2S)-, acetate (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 664360-80-9
CMF C19 H20 F3 N O2 S

Absolute stereochemistry.



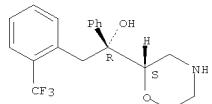
CM 2

CRN 64-19-7
CMF C2 H4 O2



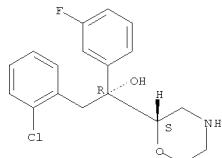
RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-
[trifluoromethyl]phenyl)methyl]-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-83-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-
fluorophenyl)-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

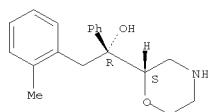
Absolute stereochemistry.



● HCl

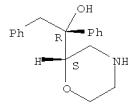
RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-,
hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

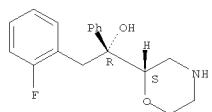
RN 664360-85-4 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-,
hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)



● HCl

RN 664360-86-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-,
hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

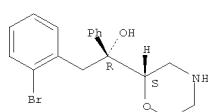
Absolute stereochemistry.



● HCl

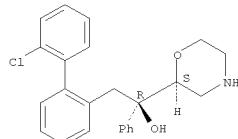
RN 664360-87-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-,
(aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

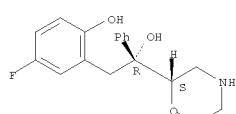
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

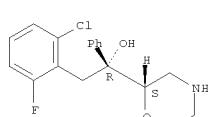
Absolute stereochemistry.



● HCl

RN 664360-90-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

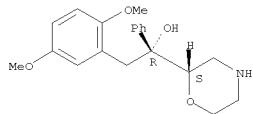
Absolute stereochemistry.



● HCl

RN 664360-91-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

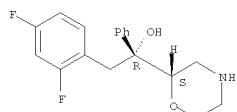
Absolute stereochemistry.



● HCl

RN 664360-92-3 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-93-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

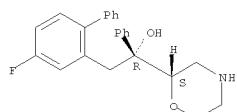


● HCl

RN 664360-96-7 CAPLUS

L25 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

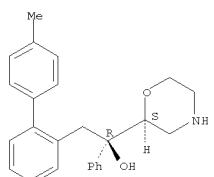
Absolute stereochemistry.



● HCl

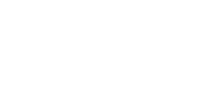
RN 664360-97-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

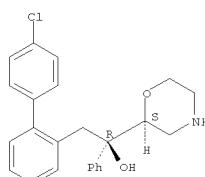


RN 664360-98-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

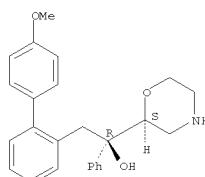


L25 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



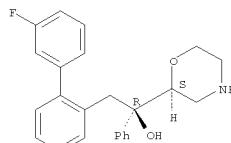
RN 664360-99-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



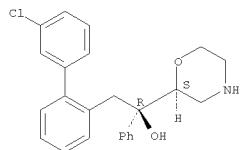
RN 664361-00-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



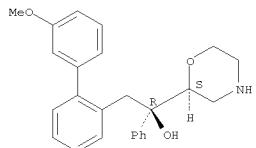
RN 664361-01-7 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



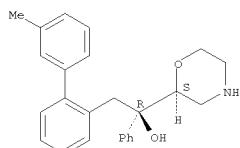
RN 664361-02-8 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-03-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

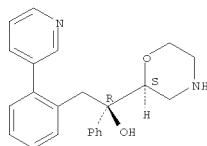
Absolute stereochemistry.



RN 664361-04-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

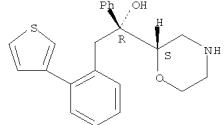
Absolute stereochemistry.

Absolute stereochemistry.



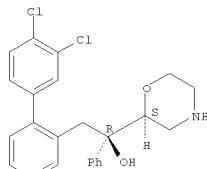
RN 664361-08-4 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



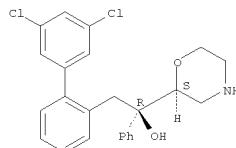
RN 664361-09-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



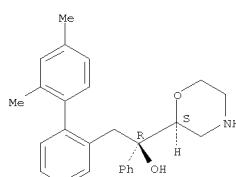
RN 664361-42-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



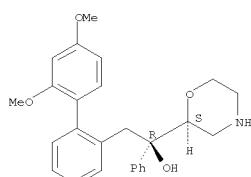
RN 664361-05-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

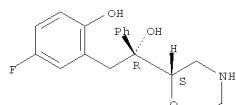


RN 664361-06-2 CAPLUS
 CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-07-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)



L25 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 20041036891 CAPLUS
 DOCUMENT NUMBER: 14216841
 TITLE: Treatment of emotional dysregulation
 INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann;
 Michelson,
 David; Reimherr, Frederick William
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 155 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004 US13005	20040511
WO 2004103356	A3	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-470752P P 20030515

OTHER SOURCE(S): MARPAT 142:16841

AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine uptake inhibitor

IT 664360-72-9P 664360-73-0P 664360-74-1P
 664360-76-3P 664360-77-4P 664360-78-5P
 664360-79-6P 664360-81-0P 664360-82-1P
 664360-83-2P 664360-84-3P

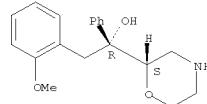
RL: SPN (Synthetic preparation); PREP (Preparation)
 (treatment of emotional dysregulation)

RN 664360-72-9 CAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

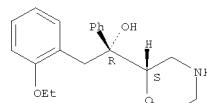
L25 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 664360-73-0 CAPLUS
 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

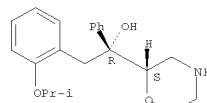
Absolute stereochemistry.



● HCl

RN 664360-74-1 CAPLUS
 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

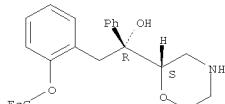


● HCl

RN 664360-76-3 CAPLUS
 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

L25 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

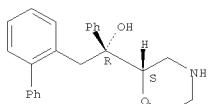
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

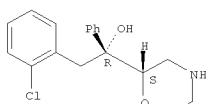
Absolute stereochemistry.



● HCl

RN 664360-78-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

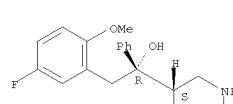


● HCl

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -

L25 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



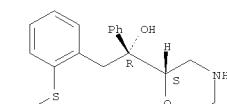
● HCl

RN 664360-81-0 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)thio)phenyl)methyl]-, (α R,2S)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664360-80-9
 CMF C19 H20 F3 N O2 S

Absolute stereochemistry.



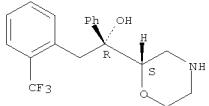
CM 2

CRN 64-19-7
 CMF C2 H4 O2



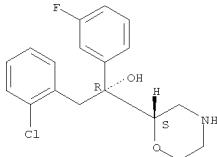
RN 664360-82-1 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664360-83-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

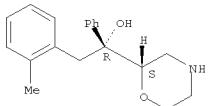
Absolute stereochemistry.



● HCl

RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (aR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

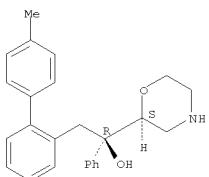
AB Morpholine derivs. of formula I [R = independently H, alkyl; R1 = H, alkyl; Y = OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared. The compds. are selective inhibitors of the reuptake of norepinephrine. Thus, II.HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethanone (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had Ki values less than 500 nm at the norepinephrine transporter in a scintillation proximity assay.

IT 664360-97-9P 664360-98-9P 664360-99-0P
664361-00-6P 664361-01-7P 664361-02-8P
664361-03-9P 664361-04-0P 664361-05-1P
664361-06-2P 664361-07-3P 664361-08-4P
664361-09-5P

KL: CP (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-97-8 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



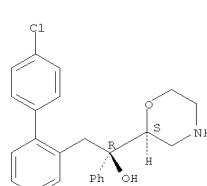
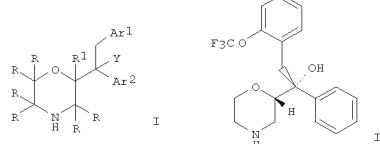
RN 664360-98-9 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-chlorophenyl)-2-yl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004182855 CAPLUS
DOCUMENT NUMBER: 140:217649
TITLE: Preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors
INVENTOR(S): Casas-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Peteira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

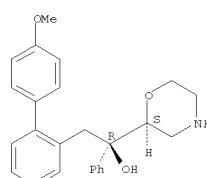
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WO 2004018441	A1	20040304	WO 2003-US23270	20030818
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AU 2003268024	A1	20040311	AU 2003-268024	20030818
EP 1534694	A1	20050601	EP 2003-748975	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, EG, CZ, EE, HO, SK				
US 2006003998	A1	20060105	US 2005-524921	20050215
PRIORITY APPLN. INFO.:			GB 2002-19687	A 20020823
			US 2002-415303P	P 20021001
			WO 2003-US23270	W 20030818

OTHER SOURCE(S): MARPAT 140:217649
GI



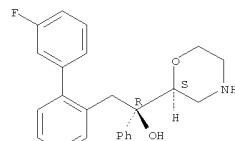
RN 664360-99-0 CAPLUS
CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



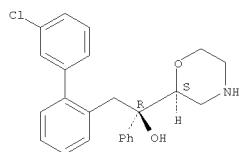
RN 664361-00-6 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



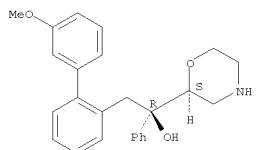
RN 664361-01-7 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-chlorophenyl)-2-yl)methyl]- α -phenyl-, (aR,2S)- (CA INDEX NAME)

Absolute stereochemistry.



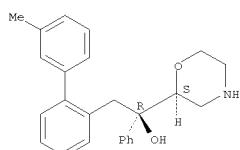
RN 664361-02-8 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-03-9 CAPLUS
CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

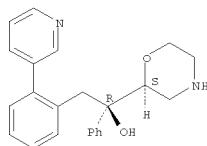
Absolute stereochemistry.



RN 664361-04-0 CAPLUS
CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

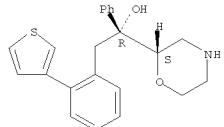
Absolute stereochemistry.

Absolute stereochemistry.



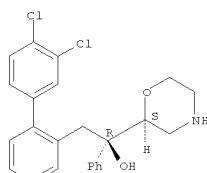
RN 664361-08-4 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-thienyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

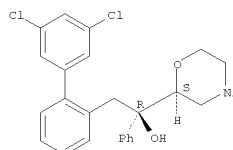


RN 664361-09-5 CAPLUS
CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

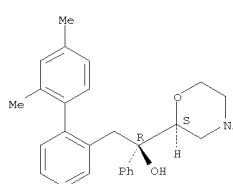


IT 664360-87-6P
RL: CRT (Combinatorial reactant); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)



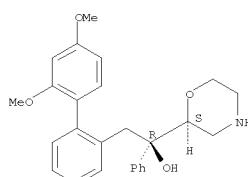
RN 664361-05-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-06-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



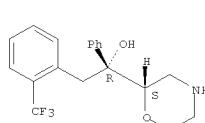
RN 664361-07-3 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(3-pyridinyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

IT 664361-41-5P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664361-41-5 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)-rel- (CA INDEX NAME)

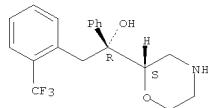
Relative stereochemistry.



IT 664360-82-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-82-1 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)phenyl)methyl]-, (α R,2S)- (CA INDEX NAME)

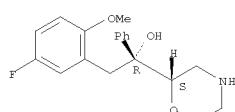
Absolute stereochemistry.



IT 664360-79-6P 664361-42-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of aryl and heteroaryl morpholine derivs. as norepinephrine
 reuptake inhibitors)

RN 664360-79-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

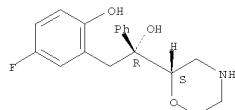
Absolute stereochemistry.



● HCl

RN 664361-42-6 CAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

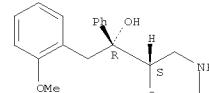


IT 664360-72-9P 664360-73-OP 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-78-5P 664360-81-OP 664360-83-2P
 664360-84-3P 664360-85-4P 664360-86-5P

664360-89-7P 664360-89-8P 664360-90-1P
 664360-91-2P 664360-92-3P 664360-93-4P
 664360-94-5P 664360-95-6P 664360-96-7P
 664361-37-9P 664361-38-0P 664361-39-1P
 664361-40-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep. of aryl and heteroaryl morpholine derivs. as norepinephrine
 reuptake inhibitors)

RN 664360-72-9 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

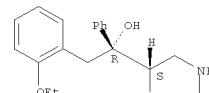
Absolute stereochemistry.



● HCl

RN 664360-73-0 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

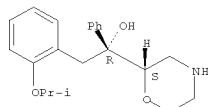
Absolute stereochemistry.



● HCl

RN 664360-74-1 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-(1-methylethoxy)phenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

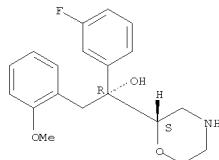
Absolute stereochemistry.



● HCl

RN 664360-75-2 CAPLUS
 CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

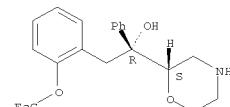
Absolute stereochemistry.



● HCl

RN 664360-76-3 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-trifluoromethoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

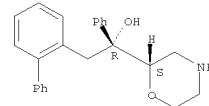
Absolute stereochemistry.



● HCl

RN 664360-77-4 CAPLUS
 CN 2-Morpholinemethanol, α -[(1,1'-biphenyl)-2-ylmethyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

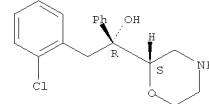
Absolute stereochemistry.



● HCl

RN 664360-78-5 CAPLUS
 CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



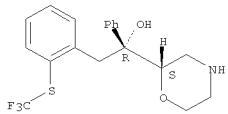
● HCl

RN 664360-81-0 CAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[(2-(trifluoromethyl)thio)phenyl)methyl]-, (α R,2S)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664360-80-9
CNF C19 H20 F3 N O2 S

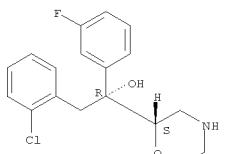
Absolute stereochemistry.



CM 2

CRN 64-19-7
CNF C2 H4 O2RN 664360-83-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

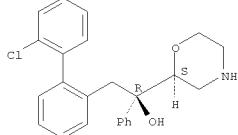


● HCl

RN 664360-84-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

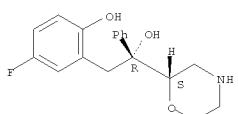
Absolute stereochemistry.



● HCl

RN 664360-89-8 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

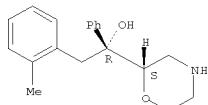
Absolute stereochemistry.



● HCl

RN 664360-90-1 CAPLUS
CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

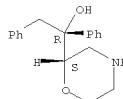
Absolute stereochemistry.



● HCl

RN 664360-85-4 CAPLUS
CN 2-Morpholinemethanol, α -[(2-phenylmethyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

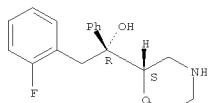
Absolute stereochemistry.



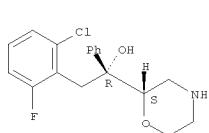
● HCl

RN 664360-86-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



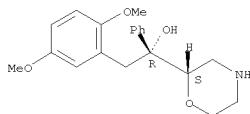
● HCl

RN 664360-88-7 CAPLUS
CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

● HCl

RN 664360-91-2 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

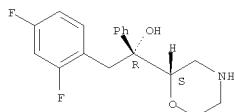
Absolute stereochemistry.



● HCl

RN 664360-92-3 CAPLUS
CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

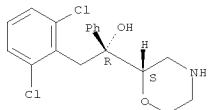


● HCl

RN 664360-93-4 CAPLUS

L25 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

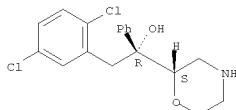
Absolute stereochemistry.



● HCl

RN 664360-94-5 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

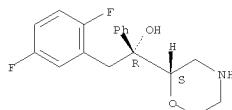


● HCl

RN 664360-95-6 CAPLUS
CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

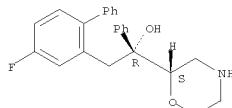
L25 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

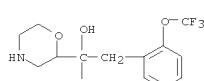
RN 664360-96-7 CAPLUS
CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

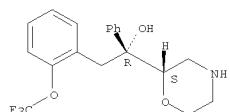
RN 664361-37-9 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-trifluoromethoxy)phenyl]methyl- (CA INDEX NAME)



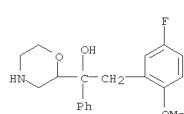
RN 664361-38-0 CAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -[(2-trifluoromethoxy)phenyl]methyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

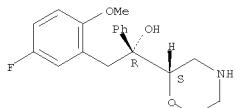


RN 664361-39-1 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, (CA INDEX NAME)



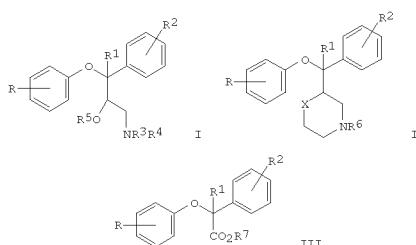
RN 664361-40-4 CAPLUS
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, (α R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



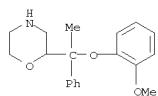
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L25 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:24560 CAPLUS
DOCUMENT NUMBER: 102:24560
ORIGINAL REFERENCE NO.: 102:4051a,4054a
TITLE: Potential antidepressant agents. α -Aryloxybenzyl derivatives of ethanamine and morpholine
AUTHOR(S): Melloni, Piero; Carniel, Giovanni; Della Torre, Arturo; Bonsignori, Alberto; Buonamici, Matilde; Pozzi, Ottorino; Ricciardi, Sante; Rossi, Alessandro G.
CORPORATE SOURCE: Farmitalia C. Erba S.p.A., Milan, 20159, Italy
SOURCE: European Journal of Medicinal Chemistry (1984), 19 (3), 235-42
DOCUMENT TYPE: CODEN: EJMCA5; ISSN: 0223-5234
LANGUAGE: Journal
OTHER SOURCE(S): English
GI CASREACT 102:24560

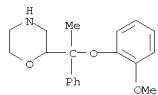


AB The title compds. I and II ($R = Me, H, Cl, MeO$, methylenedioxy; $R1 = H, Me, R2 = H, MeO, Cl; R3 = H, Me, Me2CH; R4, R5 = H, Me; R6 = H, Me, Ac, MeNHCO, etc.; X = O, CH2, MeN$) were prepared as antidepressants from phenoxyacetates III ($R7 = Et$). Thus, III ($R = 2\text{-MeO}$, $R1 = R2 = R7 = H$) was treated with carbonyldimidazole and nitromethane sodium salt to give the nitro enolate, which was reduced by $H2/PtO2$ to give 2 diastereoisomers of I ($R = 2\text{-MeO}$, $R1-R5 = H$). II ($R = 2\text{-EtO}$, $R1 = R2 = R6 = H; X = O$) showed outstanding activity in the antireserpine test when compared with Imipramine, Desipramine and Viloxazine. IT 93852-76-7 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antidepressant activity of) RN 93852-76-7 CAPLUS

L25 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Morpholine, 2-[1-(2-methoxyphenoxy)-1-phenylethyl]- (CA INDEX NAME)



IT 93851-95-7P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)
RN 93851-95-7 CAPLUS
CN Morpholine, 2-[1-(2-methoxyphenoxy)-1-phenylethyl]-, hydrochloride (9CI)
(CA INDEX NAME)



● HCl

=> log y
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
76.78	1213.33

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.20	-22.40

STN INTERNATIONAL LOGOFF AT 12:23:58 ON 14 FEB 2008